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PATENT AND TRADEMARK OFFICE

ONLINE SEARCH REQUEST FORM

USER Jeffrey E. Russell SERIAL NUMBER 08/295,782
ART UNIT 1811 PHONE 308-3975 DATE May 23, 1995

Please give a detailed statement of requirements. Describe as specifically as possible the subject matter to be searched. Define any terms that may have special meaning. Give examples or relevant citations, authors, or keywords, if known.

You may include a copy of the broadest and or relevant claim(s).

Please search the attached general formula (\pm)
without worrying about the identity of A, A⁺, B, C, or D.
If there are many hits, please narrow by specifying
these substituents.

Thank you.
JER

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05/24/95

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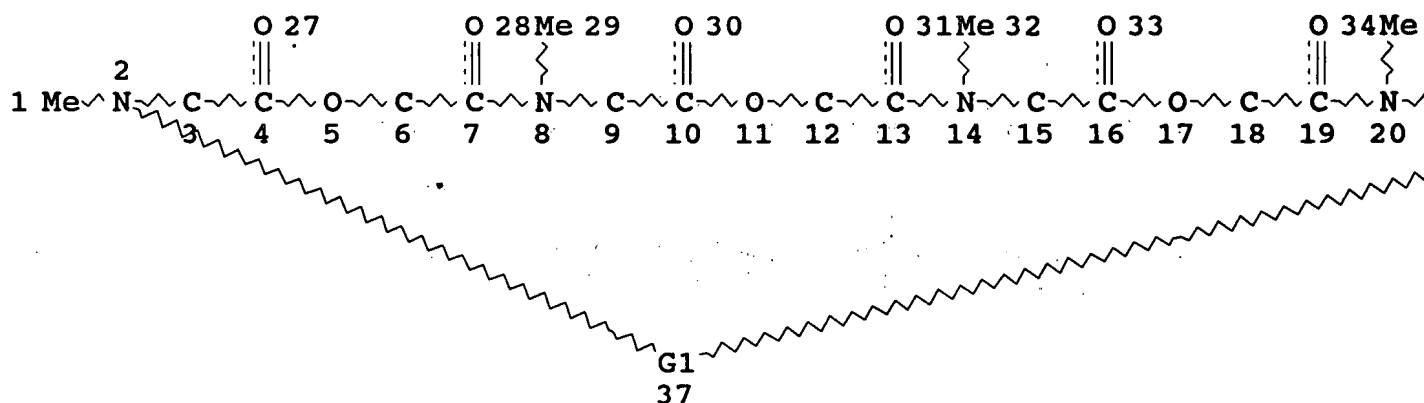
STRUCTURE FILE UPDATES: 24 MAY 95 HIGHEST RN 163180-39-0
DICTIONARY FILE UPDATES: 24 MAY 95 HIGHEST RN 163180-39-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 1995

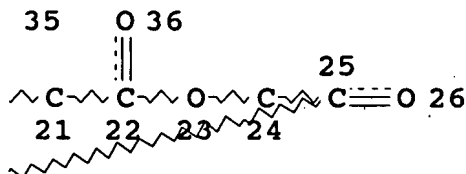
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

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Page 1-A



Page 1-B

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 37

STEREO ATTRIBUTES: NONE

L9 81 SEA FILE=REGISTRY SSS FUL L7

100.0% PROCESSED 205 ITERATIONS
SEARCH TIME: 00.00.08

81 ANSWERS

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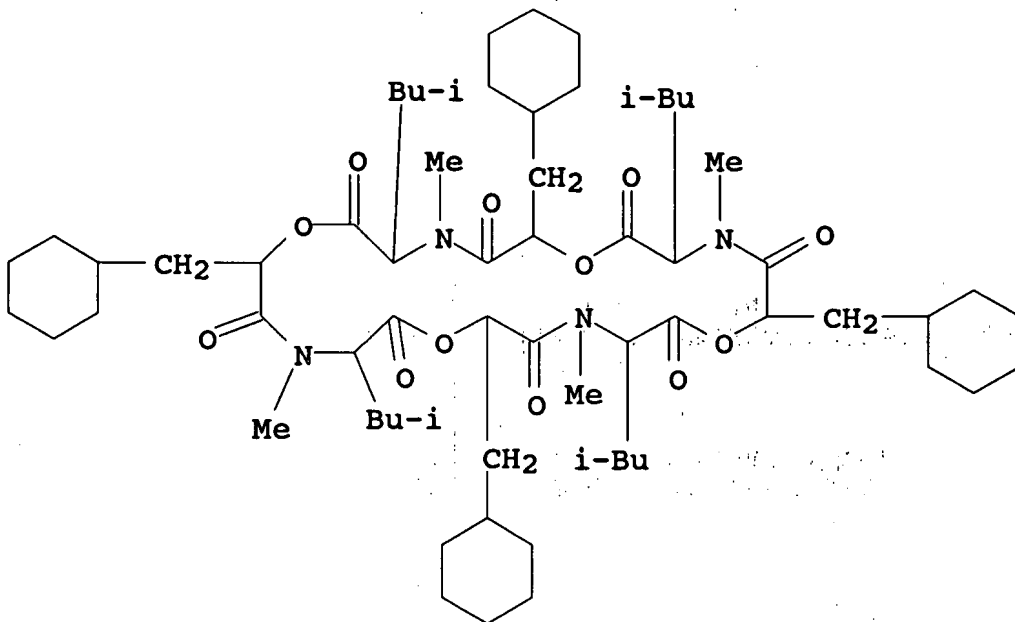
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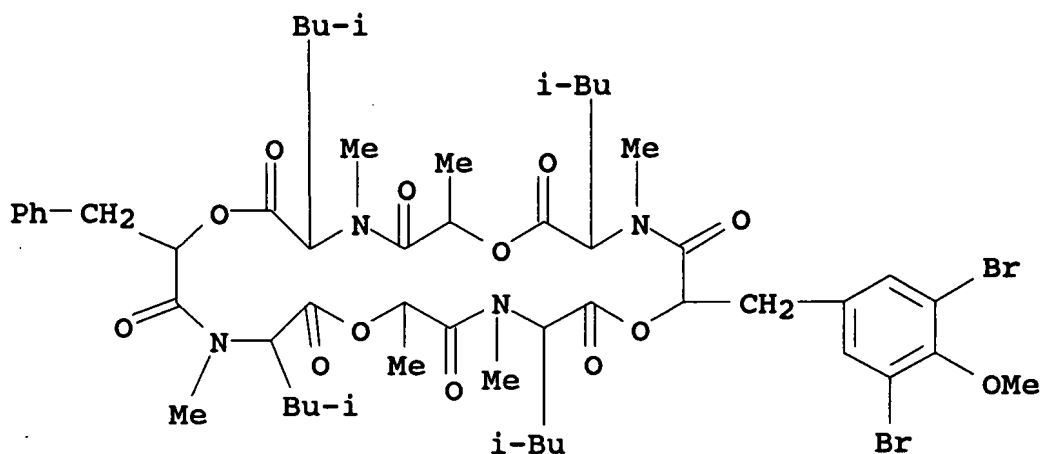
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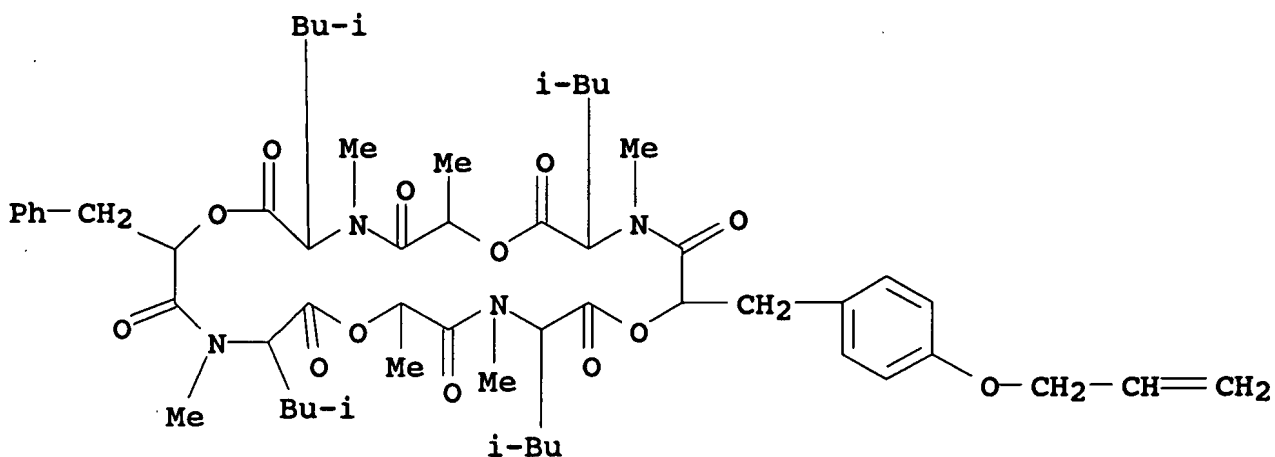
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RN 162919-25-7 REGISTRY
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FS PROTEIN SEQUENCE
MF C64 H108 N4 O12
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L9 ANSWER 5 OF 81 REGISTRY COPYRIGHT 1995 ACS
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SR CA
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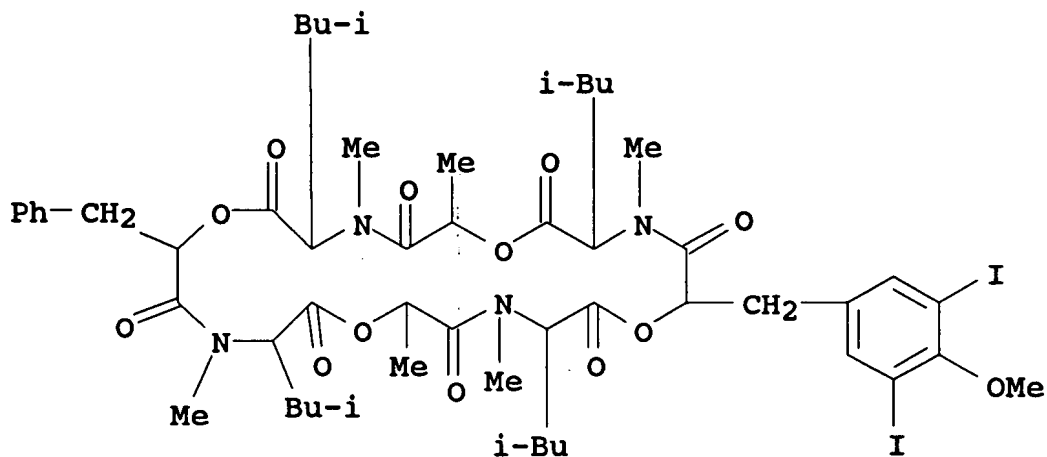


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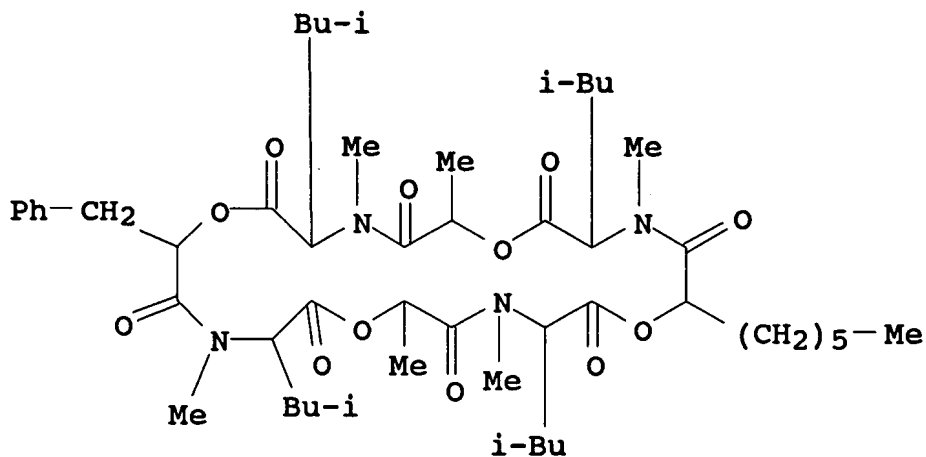


L9 ANSWER 15 OF 81 REGISTRY COPYRIGHT 1995 ACS
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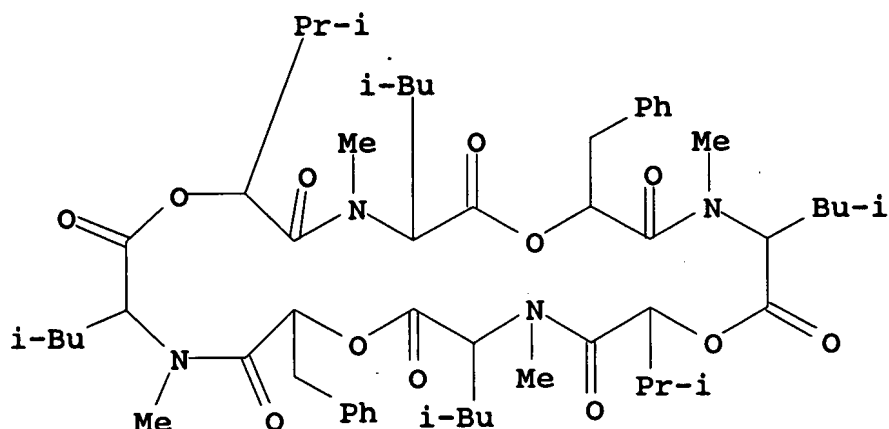
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L9 ANSWER 25 OF 81 REGISTRY COPYRIGHT 1995 ACS
 RN 162918-99-2 REGISTRY
 CN INDEX NAME NOT YET ASSIGNED
 FS PROTEIN SEQUENCE
 MF C56 H84 N4 O12
 SR CA
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L9 ANSWER 31 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 161170-63-4 REGISTRY

CN Cyclo[2-hydroxypropanoyl-N-methyl-L-leucyl-3-(4-nitrophenyl)-2-hydroxypropanoyl-N-methyl-L-leucyl-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(4-nitrophenyl)-2-hydroxypropanoyl-N-methyl-L-leucyl] (9CI)
(CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

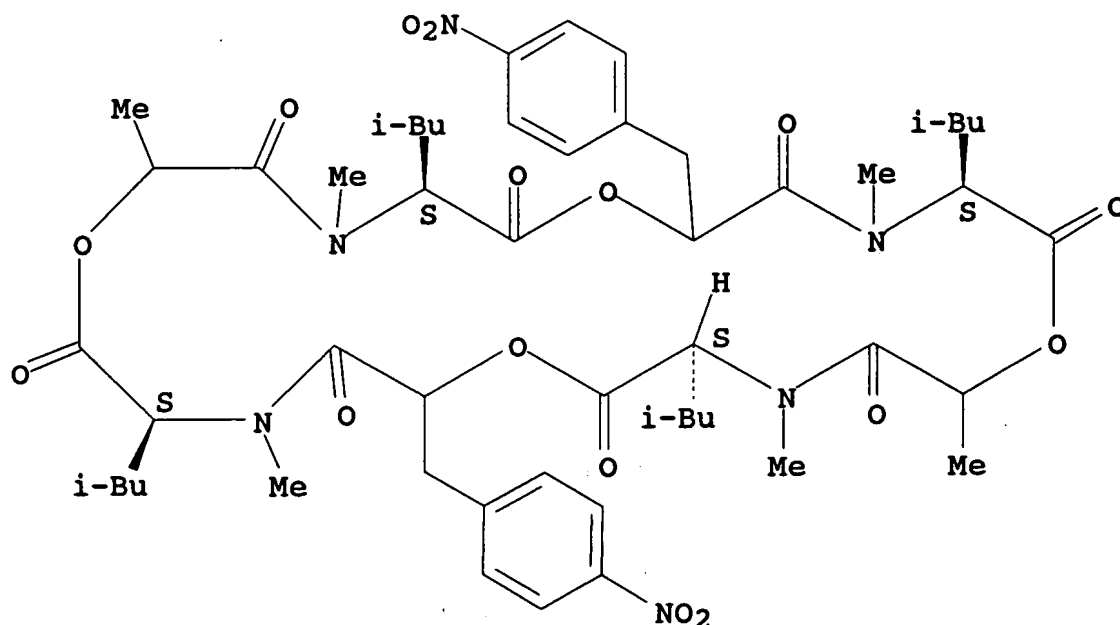
MF C52 H74 N6 O16

SR CA

LC STN Files: CA

DES *

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 122:160697

L9 ANSWER 32 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 161119-95-5 REGISTRY

CN Cyclo(hydroxyacetyl-N-methyl-L-leucylhydroxyacetyl-N-methyl-L-leucyl-3-phenyl-2-hydroxypropanoyl-N-methyl-L-leucyl-2-hydroxypropanoyl-N-methyl-L-leucyl) (9CI) (CA INDEX NAME)

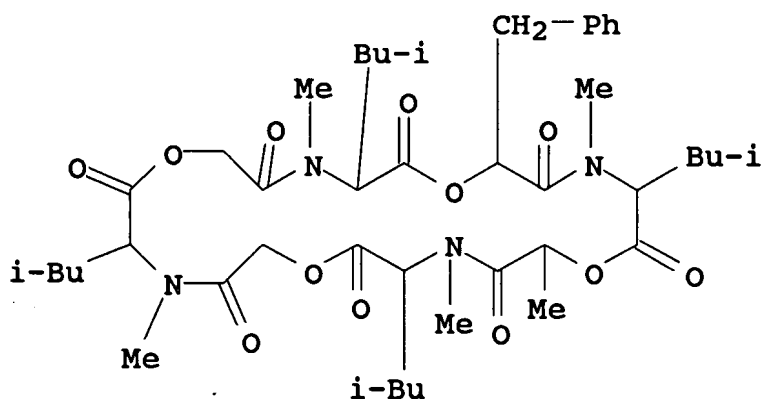
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MF C44 H68 N4 O12

SR CA

LC STN Files: CA

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1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 122:160697

L9 ANSWER 35 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 161119-92-2 REGISTRY

CN Cyclo(N-methyl-L-alanyl-2-hydroxypropanoyl-N-methyl-L-alanyl-3-phenyl-2-hydroxypropanoyl-N-methyl-L-leucyl-2-hydroxypropanoyl-N-methyl-L-leucyl-3-phenyl-2-hydroxypropanoyl) (9CI) (CA INDEX NAME)

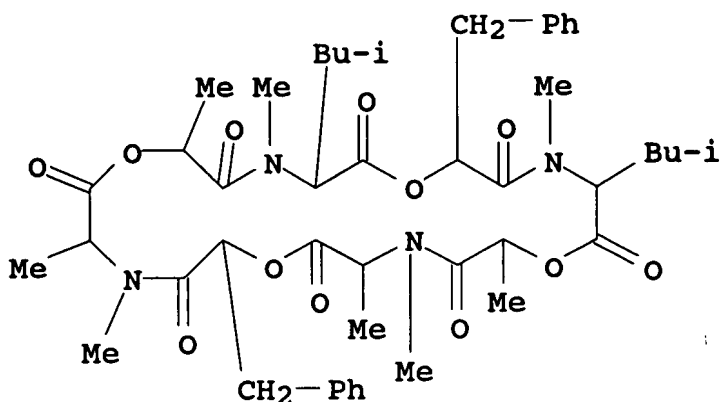
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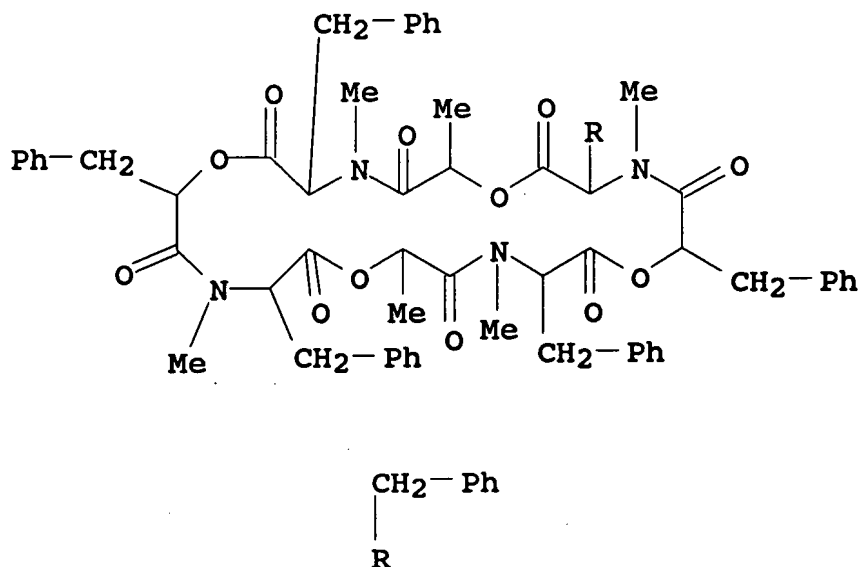
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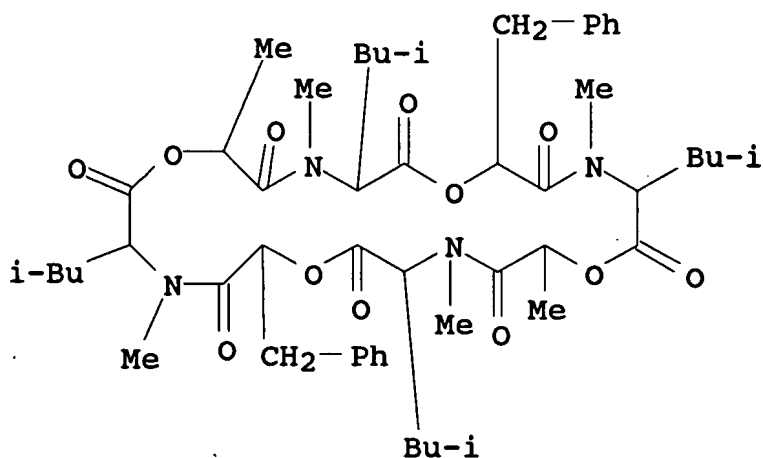
L9 ANSWER 40 OF 81 REGISTRY COPYRIGHT 1995 ACS
 RN 161119-87-5 REGISTRY
 CN Cyclo(2-hydroxypropanoyl-N-methyl-L-phenylalanyl-3-phenyl-2-hydroxypropanoyl-N-methyl-L-phenylalanyl-2-hydroxypropanoyl-N-methyl-L-phenylalanyl-3-phenyl-2-hydroxypropanoyl-N-methyl-L-phenylalanyl)
 (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE
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 LC STN Files: CA
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1 REFERENCES IN FILE CA (1967 TO DATE)

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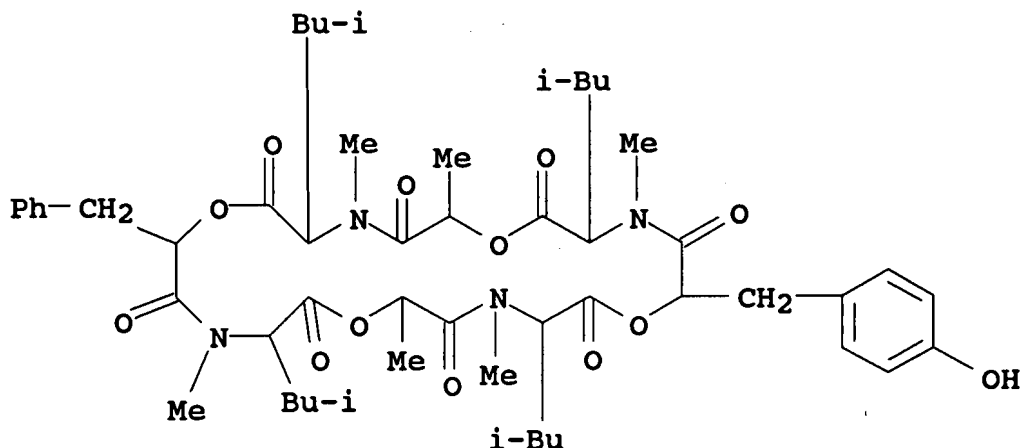
L9 ANSWER 43 OF 81 REGISTRY COPYRIGHT 1995 ACS
RN 159247-20-8 REGISTRY
CN Cyclo(L-2-hydroxypropanoyl-N-methyl-D-leucyl-3-phenyl-L-2-hydroxypropanoyl-N-methyl-D-leucyl-L-2-hydroxypropanoyl-N-methyl-D-leucyl-3-phenyl-L-2-hydroxypropanoyl-N-methyl-D-leucyl) (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C52 H76 N4 O12
SR CA
LC STN Files: CA
DES *



1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: 121:301287

L9 ANSWER 44 OF 81 REGISTRY COPYRIGHT 1995 ACS
RN 158792-28-0 REGISTRY
CN Cyclo[2-hydroxypropanoyl-N-methyllleucyl-3-(4-hydroxyphenyl)-2-hydroxypropanoyl-N-methyllleucyl-2-hydroxypropanoyl-N-methyllleucyl-3-phenyl-2-hydroxypropanoyl-N-methyllleucyl] (9CI) (CA INDEX NAME)
OTHER NAMES:
CN PF 1022E
MF C52 H76 N4 O13
SR CA
LC STN Files: CA
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1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 122:104043

L9 ANSWER 45 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 155213-39-1 REGISTRY

CN Cyclo[D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(3-methoxyphenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl-D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(3-methoxyphenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl]
(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.

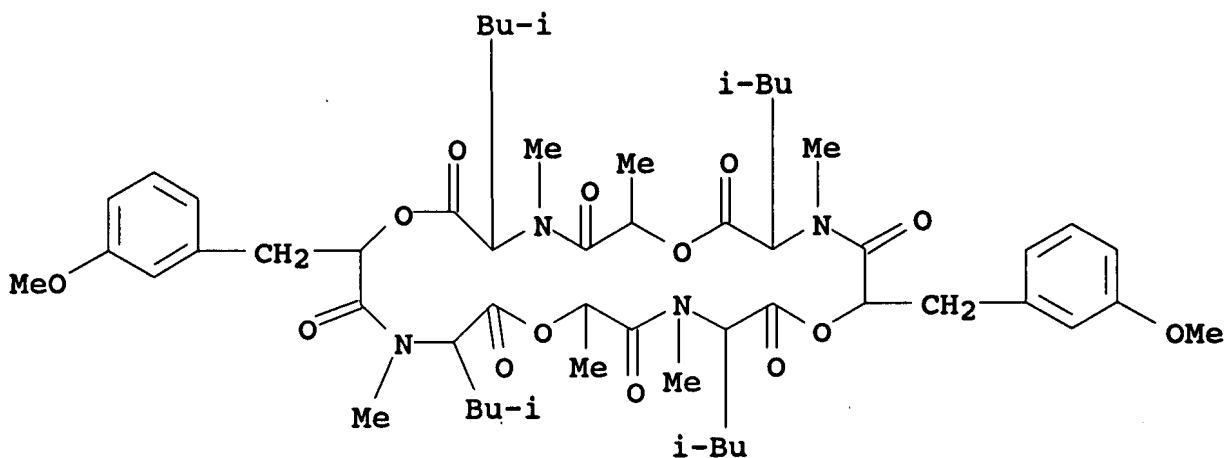
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SR CA

LC STN Files: CA

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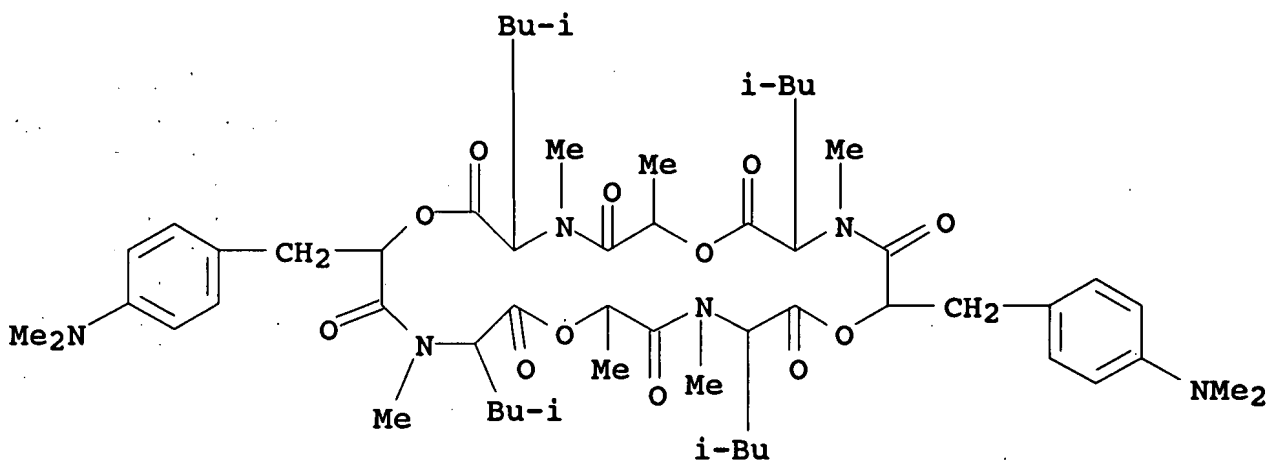
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REFERENCE 1: P 121:134806

L9 ANSWER 46 OF 81 REGISTRY COPYRIGHT 1995 ACS
RN 155155-40-1 REGISTRY
CN Cyclo[D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-[4-(dimethylamino)phenyl]-D-2-hydroxypropanoyl-N-methyl-L-leucyl-D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-[4-(dimethylamino)phenyl]-D-2-hydroxypropanoyl-N-methyl-L-leucyl], dihydrochloride (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.
FS PROTEIN SEQUENCE
MF C56 H86 N6 O12 . 2 Cl H
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LC STN Files: CA
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CRN (155030-62-9)



● 2 HCl

1 REFERENCES IN FILE CA (1967 TO DATE)

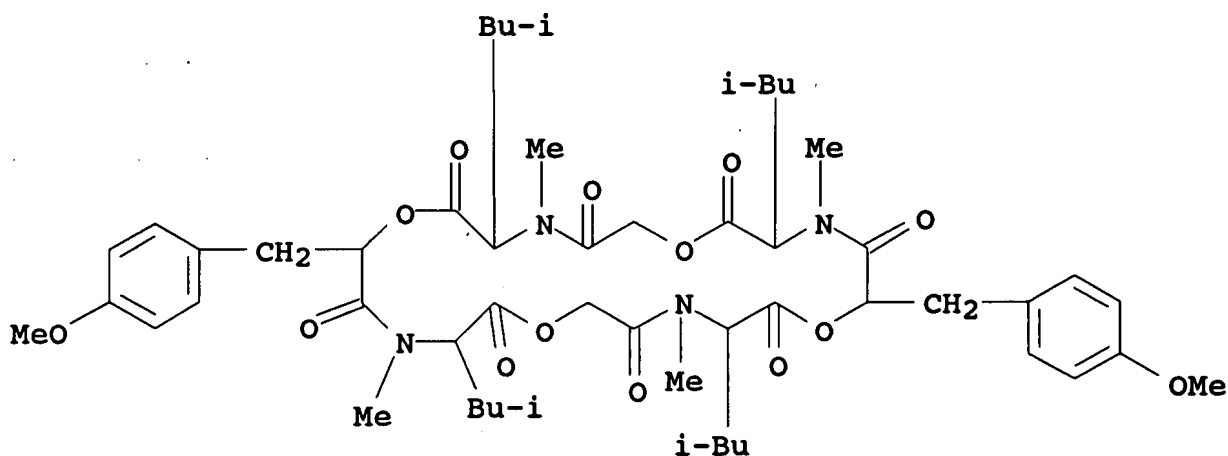
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L9 ANSWER 47 OF 81 REGISTRY COPYRIGHT 1995 ACS
RN 155030-85-6 REGISTRY
CN Cyclo[hydroxyacetyl-N-methyl-L-leucyl-3-(4-methoxyphenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucylhydroxyacetyl-N-methyl-L-leucyl-3-(4-methoxyphenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl] (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.
FS PROTEIN SEQUENCE
MF C52 H76 N4 O14
SR CA

LC STN Files: CA
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1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 121:134806

L9 ANSWER 50 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 155030-82-3 REGISTRY

CN Cyclo[D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(3-fluorophenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl-D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(3-fluorophenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl]
(9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.

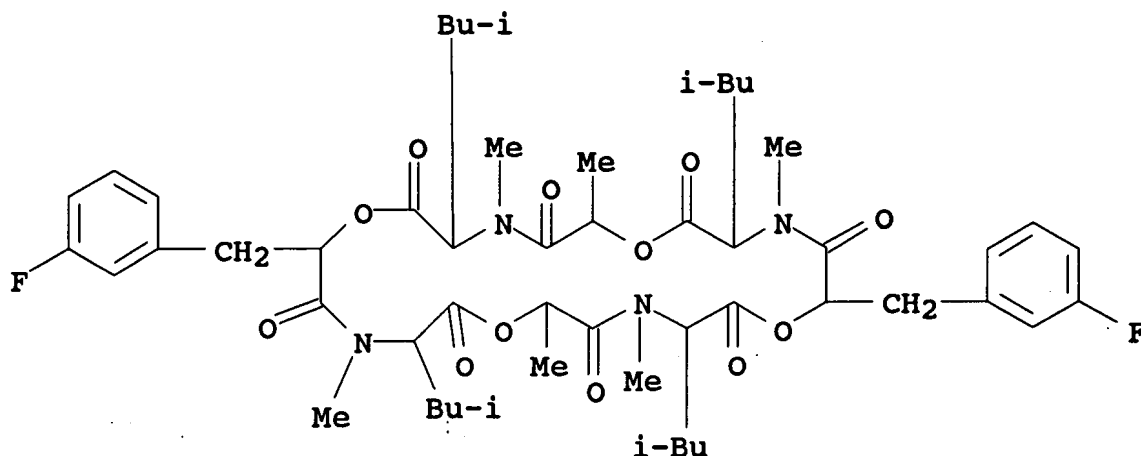
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LC STN Files: CA

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1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 121:134806

L9 ANSWER 55 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 155030-77-6 REGISTRY

CN Cyclo[D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(2,4-dimethoxyphenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl-D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(2,4-dimethoxyphenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl] (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.

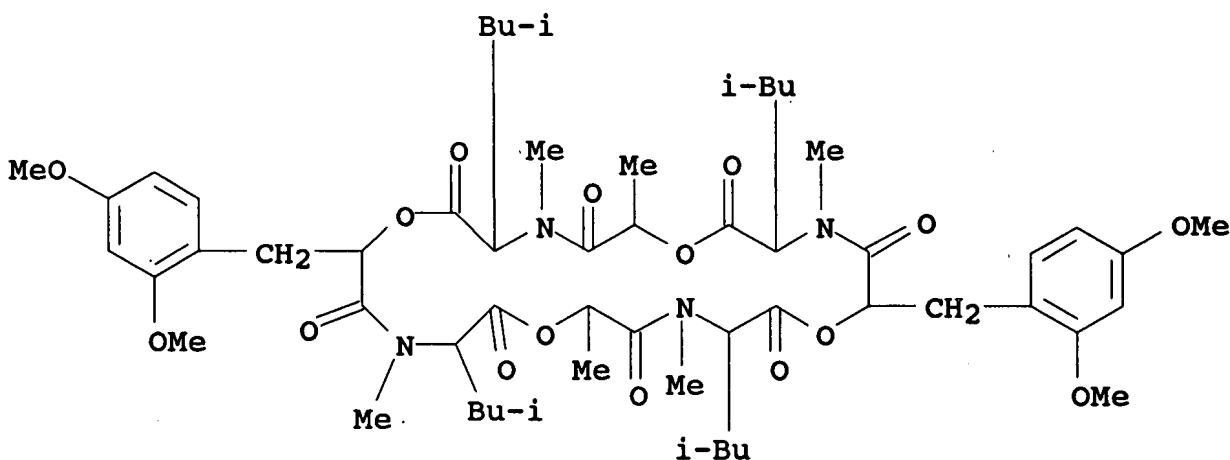
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1 REFERENCES IN FILE CA (1967 TO DATE)

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L9 ANSWER 60 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 155030-72-1 REGISTRY

CN Cyclo[D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(4-ethoxyphenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl-D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-(4-ethoxyphenyl)-D-2-hydroxypropanoyl-N-methyl-L-leucyl] (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.

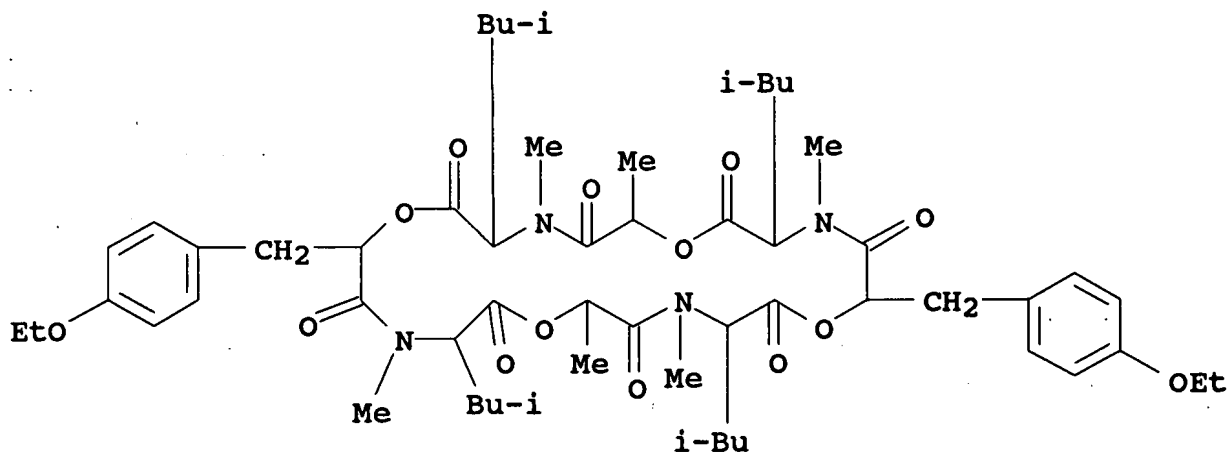
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MF C56 H84 N4 O14

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LC STN Files: CA

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1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 121:134806

L9 ANSWER 65 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 155030-67-4 REGISTRY

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OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.

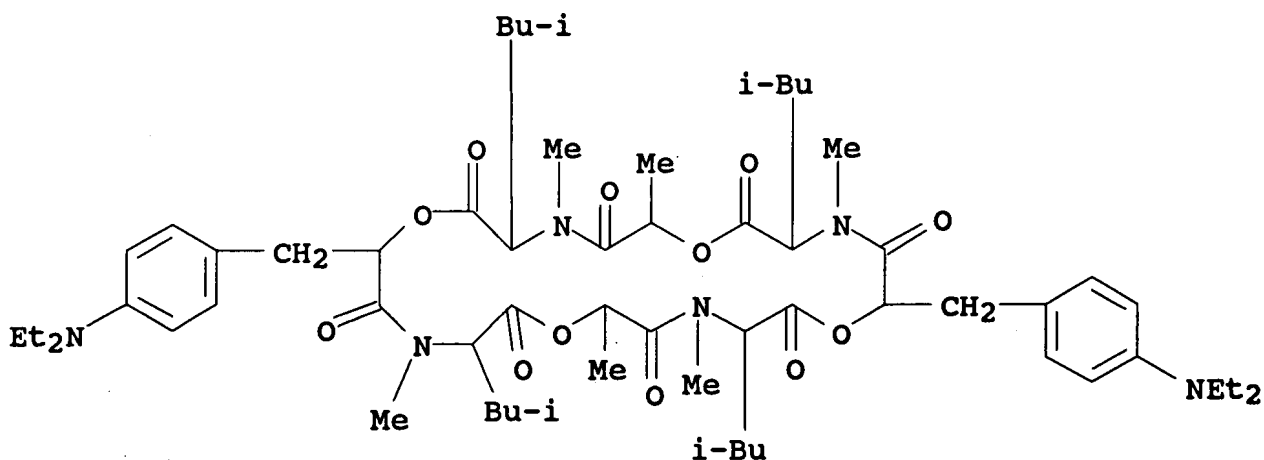
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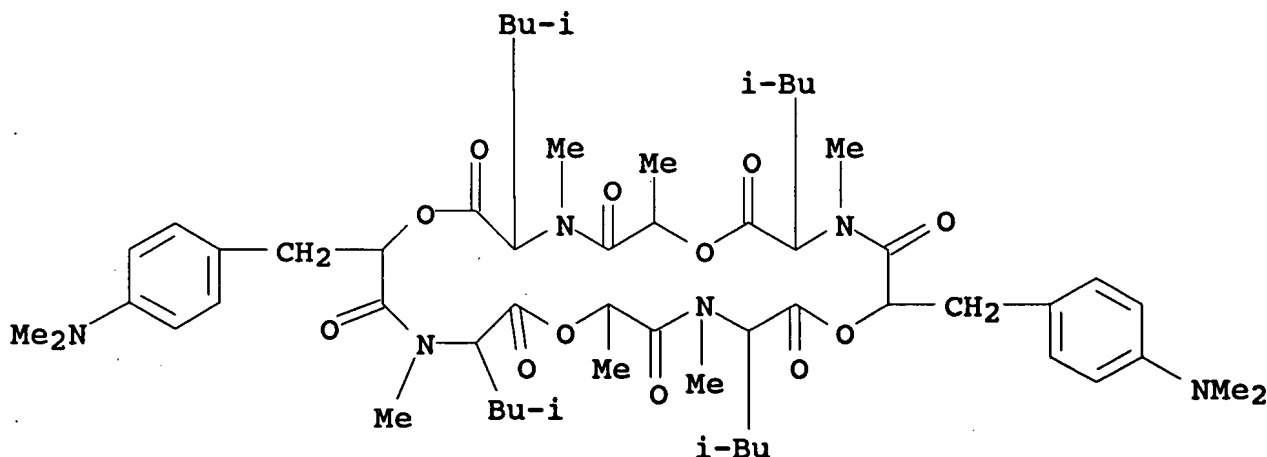
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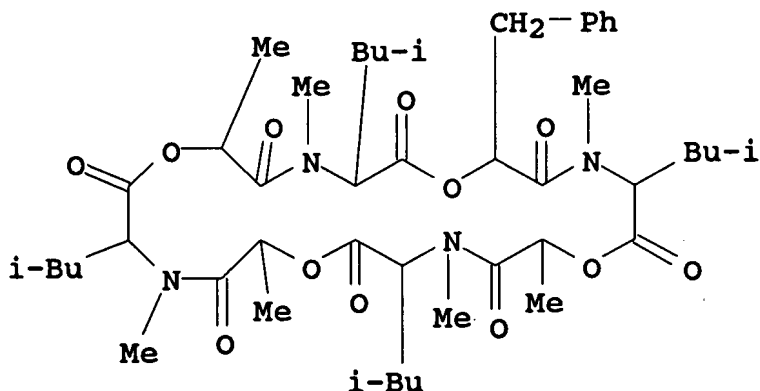
L9 ANSWER 70 OF 81 REGISTRY COPYRIGHT 1995 ACS
RN 155030-62-9 REGISTRY
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OTHER CA INDEX NAMES:
CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.
FS PROTEIN SEQUENCE
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SR CA
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1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: P 121:134806

L9 ANSWER 73 OF 81 REGISTRY COPYRIGHT 1995 ACS
RN 150749-53-4 REGISTRY
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OTHER CA INDEX NAMES:
CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.
OTHER NAMES:
CN PF 1022D
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REFERENCE 1: P 119:224429

L9 ANSWER 76 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 133413-70-4 REGISTRY

CN Cyclo(D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-phenyl-D-2-hydroxypropanoyl-N-methyl-L-leucyl-D-2-hydroxypropanoyl-N-methyl-L-leucyl-3-phenyl-D-2-hydroxypropanoyl-N-methyl-L-leucyl) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

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OTHER NAMES:

CN PF 1022

CN PF 1022A

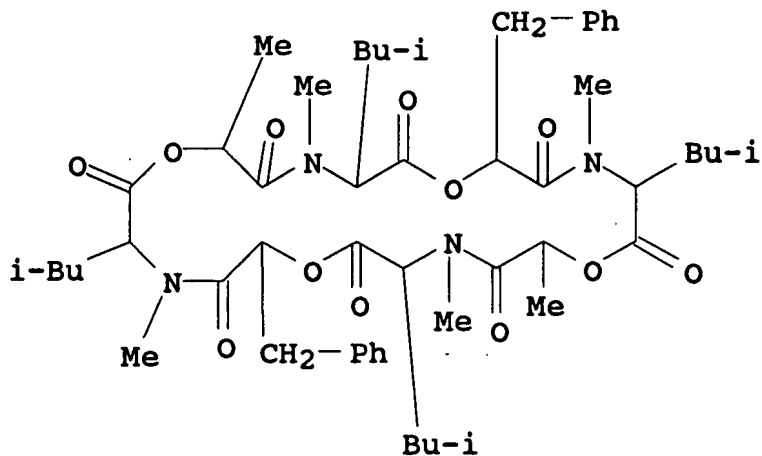
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MF C52 H76 N4 O12

SR CA

LC STN Files: BIOBUSINESS, BIOSIS, CA, CASREACT, DRUGUPDATES, MEDLINE, PHAR, PNI, RTECS*, TOXLIT, USPATFULL
(*File contains numerically searchable property data)

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10 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: 122:106502
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 REFERENCE 3: P 121:180229
 REFERENCE 4: P 121:134806
 REFERENCE 5: 120:307286
 REFERENCE 6: P 120:135149
 REFERENCE 7: P 120:71579
 REFERENCE 8: P 117:239845
 REFERENCE 9: 117:62356
 REFERENCE 10: P 114:183852

L9 ANSWER 77 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 64763-82-2 REGISTRY

CN Bassianolide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.

OTHER NAMES:

CN Cyclo(D-.alpha.-hydroxyisovaleryl-N-methyl-L-leucyl-D-.alpha.-hydroxyisovaleryl-N-methyl-L-leucyl-D-.alpha.-hydroxyisovaleryl-N-methyl-L-leucyl-D-.alpha.-hydroxyisovaleryl-N-methyl-L-leucyl)

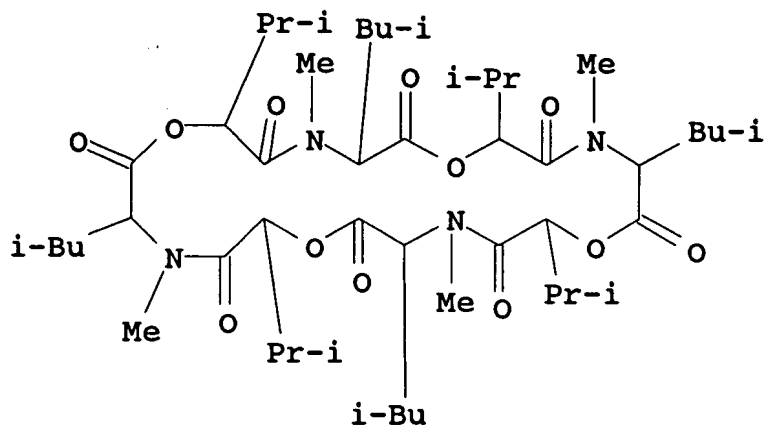
FS PROTEIN SEQUENCE

MF C48 H84 N4 O12

LC STN Files: BEILSTEIN*, BIOSIS, CA, MEDLINE, NAPRALERT, TOXLINE, TOXLIT

(*File contains numerically searchable property data)

DES *



16 REFERENCES IN FILE CA (1967 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

REFERENCE 1: 103:116122
REFERENCE 2: 99:115905
REFERENCE 3: 99:115904
REFERENCE 4: 99:48503
REFERENCE 5: 99:33082
REFERENCE 6: 97:518
REFERENCE 7: 96:157316
REFERENCE 8: 96:155383
REFERENCE 9: 94:103802
REFERENCE 10: 93:186770

L9 ANSWER 78 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 42037-15-0 REGISTRY

CN Cyclo(N-methyl-L-alanyl-D-2-hydroxypropanoyl-N-methyl-L-alanyl-D-2-hydroxypropanoyl-N-methyl-L-alanyl-D-2-hydroxypropanoyl-N-methyl-L-alanyl-D-2-hydroxypropanoyl) (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.

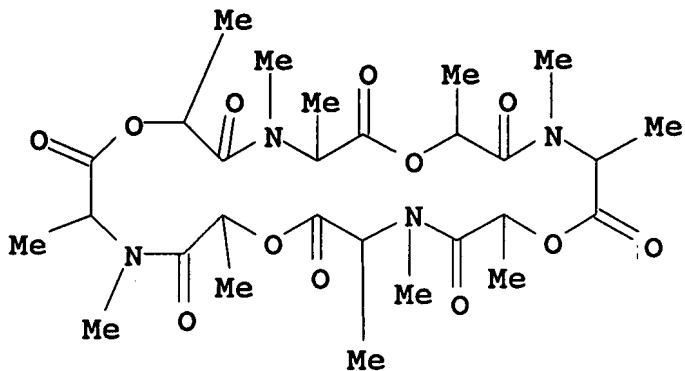
CN Cyclic(N-methyl-L-alanyl-D-lactoyl-N-methyl-L-alanyl-D-lactoyl-N-methyl-L-alanyl-D-lactoyl-N-methyl-L-alanyl-D-lactoyl)

FS PROTEIN SEQUENCE

MF C28 H44 N4 O12

LC STN Files: CA

DES *

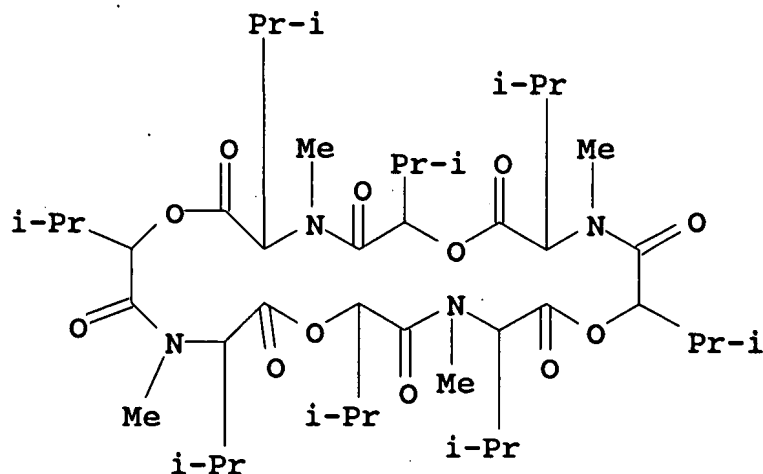


1 REFERENCES IN FILE CA (1967 TO DATE)

REFERENCE 1: 79:19074

L9 ANSWER 79 OF 81 REGISTRY COPYRIGHT 1995 ACS

RN 5686-56-6 REGISTRY
CN Cyclo(3-methyl-D-2-hydroxybutanoyl-N-methyl-D-valyl-3-methyl-D-2-hydroxybutanoyl-N-methyl-D-valyl-3-methyl-D-2-hydroxybutanoyl-N-methyl-D-valyl-3-methyl-D-2-hydroxybutanoyl-N-methyl-D-valyl) (9CI)
(CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane-2,5,8,11,14,17,20,23-octone, 3,6,9,12,15,18,21,24-octaisopropyl-4,10,16,22-tetramethyl-, stereoisomer
CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic peptide deriv.
CN Cyclic(D-.alpha.-hydroxyisovaleryl-N-methyl-D-valyl-D-.alpha.-hydroxyisovaleryl-N-methyl-D-valyl-D-.alpha.-hydroxyisovaleryl-N-methyl-D-valyl)
CN Valine, N-(D-2-hydroxy-3-methylbutyryl)-N-methyl-, tetramol. cyclic ester, D- (8CI)
FS PROTEIN SEQUENCE
MF C44 H76 N4 O12
LC STN Files: BEILSTEIN*, CA, CAOLD
(*File contains numerically searchable property data)
DES *



2 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 78:58777

REFERENCE 2: 66:29078

L9 ANSWER 80 OF 81 REGISTRY COPYRIGHT 1995 ACS

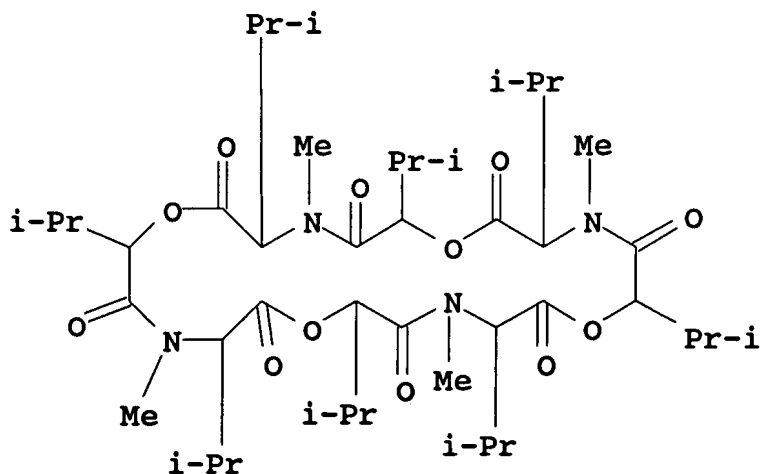
RN 4530-22-7 REGISTRY

CN Cyclo(3-methyl-D-2-hydroxybutanoyl-N-methyl-L-valyl-3-methyl-D-2-hydroxybutanoyl-N-methyl-L-valyl-3-methyl-D-2-hydroxybutanoyl-N-methyl-L-valyl-3-methyl-D-2-hydroxybutanoyl-N-methyl-L-valyl) (9CI)
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane, cyclic

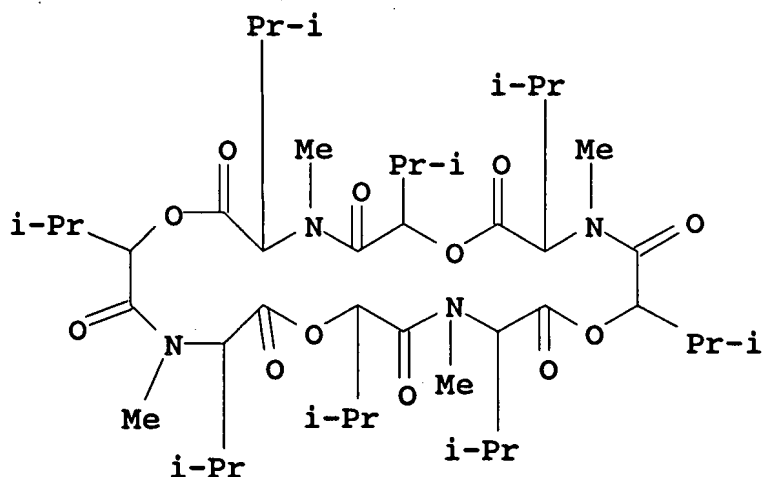
peptide deriv.
CN 1,7,13,19-Tetraoxa-4,10,16,22-tetraazacyclotetracosane-
2,5,8,11,14,17,20,23-octone, 3,6,9,12,15,18,21,24-octaisopropyl-
4,10,16,22-tetramethyl-, stereoisomer
CN Cyclic(D-.alpha.-hydroxyisovaleryl-N-methyl-L-valyl-D-.alpha.-
hydroxyisovaleryl-N-methyl-L-valyl-D-.alpha.-hydroxyisovaleryl-N-
methyl-L-valyl-D-.alpha.-hydroxyisovaleryl-N-methyl-L-valyl)
CN Valine, N-(D-2-hydroxy-3-methylbutyryl)-N-methyl-, tetramol. cyclic
ester, L- (8CI)
FS PROTEIN SEQUENCE
MF C44 H76 N4 O12
LC STN Files: BEILSTEIN*, CA, CAOLD
(*File contains numerically searchable property data)
DES *



1 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 83:159393

L9 ANSWER 81 OF 81 REGISTRY COPYRIGHT 1995 ACS
RN 2503-08-4 REGISTRY
CN Valine, N-(2-hydroxy-3-methylbutyryl)-N-methyl-, tetramol. cyclic
ester (7CI, 8CI) (CA INDEX NAME)
FS 3D CONCORD; PROTEIN SEQUENCE
MF C44 H76 N4 O12
LC STN Files: BEILSTEIN*, CAOLD
(*File contains numerically searchable property data)



2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

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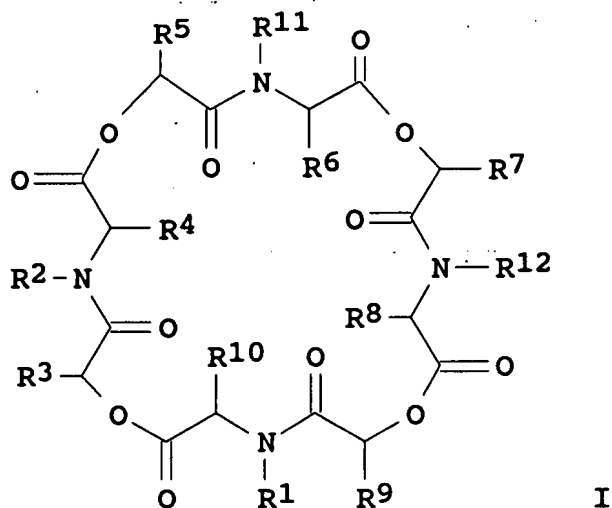
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 L9 81 SEA FILE=REGISTRY SSS FUL L7
 L10 33 SEA FILE=CA L9

=> d bib abs hitrn l10 1-33; fil caold caprev; s 19

✓ L10 ANSWER 1 OF 33 CA COPYRIGHT 1995 ACS
 AN 122:160697 CA
 TI Preparation of octacyclodepsipeptides as endoparasitocides
 IN Scherckenbeck, Juergen; Jeschke, Peter; Lerchen, Hans-Georg;
 Hagemann, Hermann; Harder, Achim; Mencke, Norbert; Plant, Andrew
 PA Bayer A.-G., Germany
 SO Eur. Pat. Appl., 46 pp.
 CODEN: EPXXDW
 PI EP 626375 A1 941130
 DS R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, SE
 AI EP 94-107543 940516
 PRAI DE 93-4317457. 930526
 DT Patent
 LA German
 OS MARPAT 122:160697
 GI



AB Title compds. [I; R1, R2, R11, R12 = (cyclo)alkyl, haloalkyl, aryl(alkyl); R3, R5, R7, R9 = H, alkyl, aryl(alkyl), etc.; R4, R6, R8, R10 = H, alk(en)yl, aryl(alkyl), etc.] were prepd. Thus, I (R1 = R2 = R5 = R9 = R11 = R12 = Me, R3 = R7 = CH₂Ph, R4 = R6 = R8 = R10 = CHMe₂) gave complete control of *Haemonchus contortus* in sheep at 5mg/kg orally.

IT 161119-85-3P 161119-86-4P 161119-87-5P
161119-88-6P 161119-89-7P 161119-90-0P
161119-91-1P 161119-92-2P 161119-93-3P
161119-94-4P 161119-95-5P 161170-63-4P

(prepn. of octacyclodepsipeptides as endoparasitocides)

✓ L10 ANSWER 2 OF 33 CA COPYRIGHT 1995 ACS

AN 122:106502 CA

TI Synthesis of PF1022A, an anthelmintic cyclodepsipeptide

AU Dutton, Fred E.; Nelson, Stephen J.

CS Upjohn Laboratories, Upjohn Co., Kalamazoo, MI, 49001, USA

SO J. Antibiot. (1994), 47(11), 1322-7

CODEN: JANTAJ; ISSN: 0021-8820

DT Journal

LA English

AB Anthelmintic cyclodepsipeptide PF1022A, cyclo(MeLeu-Lac-MeLeu-PheLac)₂ (MeLeu = N-methyl-L-leucine, Lac = D-lactic acid, PheLac = 3-phenyl-D-lactic acid) has been prepd. in eleven steps from Boc-MeLeu-OH (Boc = Me₃CO₂C), benzyl 3-phenyl-D-lactate, and benzyl D-lactate.

IT 133413-70-4P, PF 1022

(prepn. of anthelmintic cyclodepsipeptide PF 1022)

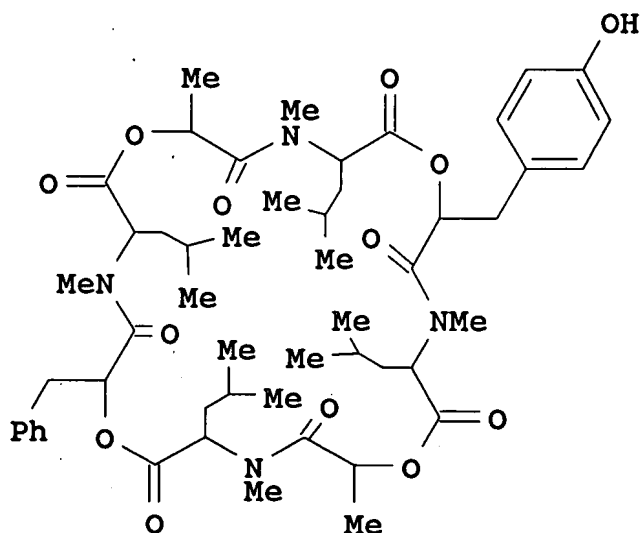
✓ L10 ANSWER 3 OF 33 CA COPYRIGHT 1995 ACS

AN 122:104043 CA

TI Anthelmintic cyclic dipsipeptide F1022E manufacture with nonspore-forming mold

IN Ooyama, Makoto; Okada, Yumiko; Nakagawa, Koji; Takagi, Masayuki; Okada, Tadaaki; Murai, Yasushi; Yoneda, Toshio; Iinuma, Katsuharu

PA Meiji Seika Co., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
PI JP 06184126 A2 940705 Heisei
AI JP 92-279094 921019
DT Patent
LA Japanese
GI



I

AB The anthelmintic cyclic dopsipeptide F1022E (I) is manufd. by culturing nonspore-forming mold F1022E. Shake culture of the nonspore-forming mold F1022E in a medium contg. glucose, starch, wheat germ, etc., and purifn. of I from the mycelium by extn. and chromatog. were shown. The physicochem., characteristics of I were given.

IT 158792-28-0P, PF 1022E

(anthelmintic cyclic dopsipeptide F1022E manuf. with nonspore-forming mold)

L10 ANSWER 4 OF 33 CA COPYRIGHT 1995 ACS

AN 121:301287 CA

TI Total synthesis of the anthelmintic cyclodepsipeptide, PF1022A

AU Ohyama, Makoto; Iinuma, Katsuharu; Isogai, Akira; Suzuki, Akinori

CS Faculty Agriculture, University Tokyo, Tokyo, 113, Japan

SO Biosci., Biotechnol., Biochem. (1994), 58(6), 1193-4

CODEN: BBBIEJ; ISSN: 0916-8451

DT Journal

LA English

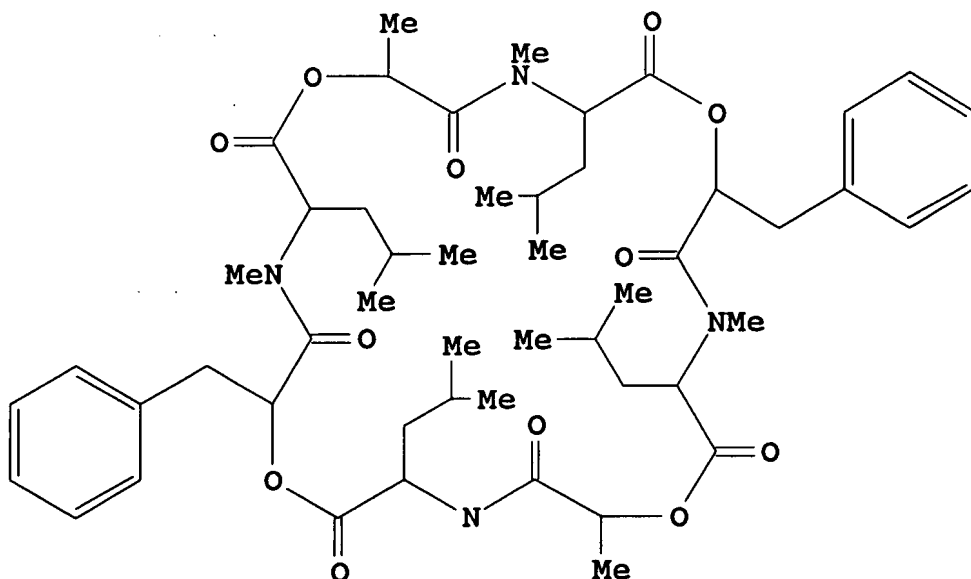
AB The anthelmintic cyclooctadepsipeptide PF1022A and its antipode were synthesized starting from Boc-L-Leu (Boc = Me₃CO₂C) (for PF1022A), Boc-D-Leu (for the antipode), L-lactic acid, and L-phenyllactic acid using the Mitsunobu reaction and/or the DCC/1-hydroxybenzotriazole (HOBt) method. The antipode had no anthelmintic efficacy.

IT 133413-70-4P, PF 1022A 159247-20-8P,

Cyclo(L-2-hydroxypropanoyl-N-methyl-D-leucyl-3-phenyl-L-2-hydroxypropanoyl-N-methyl-D-

leucyl-3-phenyl-L-2-hydroxypropanoyl-N-methyl-D-leucyl)
(prepn. and anthelmintic activity of)

L10 ANSWER 5 OF 33 CA COPYRIGHT 1995 ACS
AN 121:180229 CA
TI Preparation of the depsipeptide PF 1022
IN Ooyama, Makoto; Yoneda, Toshio; Iinuma, Katsuharu; Okada, Tadaaki
PA Meiji Seika Co, Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
PI JP 05320148 A2 931203 Heisei
AI JP 92-131139 920522
DT Patent
LA Japanese
GI



I

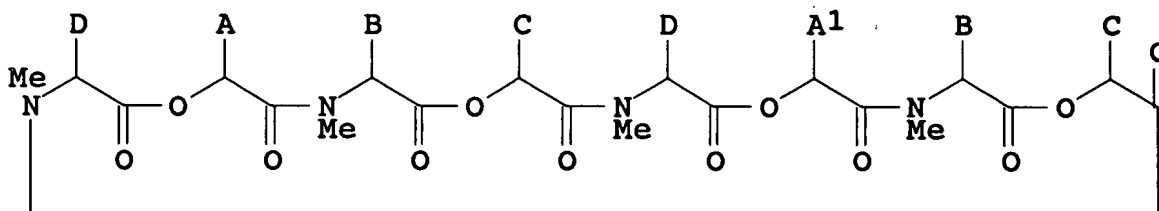
AB The title compd. (I) was prepd. by the soln. method from D-phenylalanine, BOC-Leu-OH, and MeI and cyclization of the intermediate L-N-Me.cntdot.Leu-D-lac-L-N-Me.cntdot.Leu-D-phe.cntdot.lac-L-N-Me.cntdot.Leu-D-lac-L-N-Me.cntdot.Leu-D-phe.cntdot.lac-OH.

IT 133413-70-4P, PF 1022

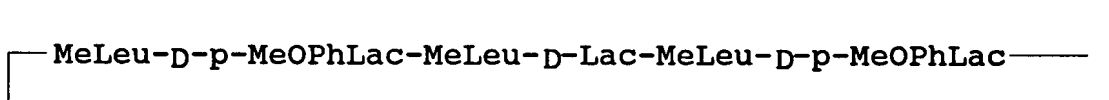
(prepn. of, from phenylalanine, leucine derivs., and Me iodide)

L10 ANSWER 6 OF 33 CA COPYRIGHT 1995 ACS
AN 121:134806 CA
TI Preparation of depsipeptides derivatives as anthelmintics
IN Nishiyama, Hitoshi; Ohgaki, Masaru; Yamanishi, Ryo; Hara, Toshihiko
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 118 pp.
CODEN: PIXXD2
PI WO 9319053 A1 930930
DS W: AU, CA, JP, NO, NZ, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
AI WO 93-JP286 930308

PRAI JP 92-92070 920317
 JP 92-305093 921015
 DT Patent
 LA Japanese
 OS MARPAT 121:134806
 GI



I



II

AB Title compds. I [A, A1 = substituted benzyl, substituted phenyl; B, D = alkyl; C = H, alkyl] are prepd. A soln. of BOC-MeLeu-D-p-MeOPhLac-MeLeu-D-Lac-MeLeu-D-p-MeOPhLac-MeLeu-D-Lac-OC6F5 [Lac = lactic acid residue] (prepn. given) in CH₂Cl₂ was stirred for 2 h, the product was dissolved in dioxane, the soln. was heated at 90.degree. and then added to pyridine, the resulting mixt. was stirred for 2.5 h to give the title compd. II. This at 2.5 mg/Kg p. o. showed .gtoreq.95% control of Nippostrongylus brasiliensis in rats.

IT 133413-70-4P 155030-60-7P 155030-61-8P
 155030-62-9P 155030-63-0P 155030-64-1P
 155030-65-2P 155030-66-3P 155030-67-4P
 155030-68-5P 155030-69-6P 155030-70-9P
 155030-71-0P 155030-72-1P 155030-73-2P
 155030-74-3P 155030-75-4P 155030-76-5P
 155030-77-6P 155030-78-7P 155030-79-8P
 155030-80-1P 155030-81-2P 155030-82-3P
 155030-83-4P 155030-84-5P 155030-85-6P
 155155-40-1P 155213-39-1P

(prepn. of, as anthelmintic)

✓ L10 ANSWER 7 OF 33 CA COPYRIGHT 1995 ACS
 AN 120:307286 CA
 TI The crystal and molecular structure of PF 1022A

AU Kodama, Yoshio; Takeuchi, Yasuo; Suzuki, Akira
CS Pharm. Res. Lab., Meiji Seika Kaisha Ltd., Yokohama, 222, Japan
SO Meiji Seika Kenkyu Nenpo (1992), 31, 1-8
CODEN: MSKNA9; ISSN: 0465-6105
DT Journal
LA English
AB PF 1022A is a cyclic octadepsipeptide antibiotic which also has potent anthelmintic activity. X-ray structure anal. of 2 different kinds of crystals which were obtained from methanol and acetone soln. was reported and the mol. conformation was discussed. PF 1022A had one cis-form of 4 amide bonds and entirely trans-form ester bonds, and the mol. conformations in the 2 crystals were the same. The dissolved crystal structure seemed to be the most stable conformer which was consistent with the result from conformational anal. of energetic calcns.

IT 133413-70-4, PF 1022
(crystal and mol. structure of)

✓ L10 ANSWER 8 OF 33 CA COPYRIGHT 1995 ACS

AN 120:135149 CA

TI Preparation of a cyclic depsipeptide as an anthelmintic.

IN Nishama, Hitoshi; Oogaki, Masaru

PA Fujisawa Pharmaceutical Co, Japan

SO Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

PI JP 05229997 A2 930907 Heisei

AI JP 92-194250 920721

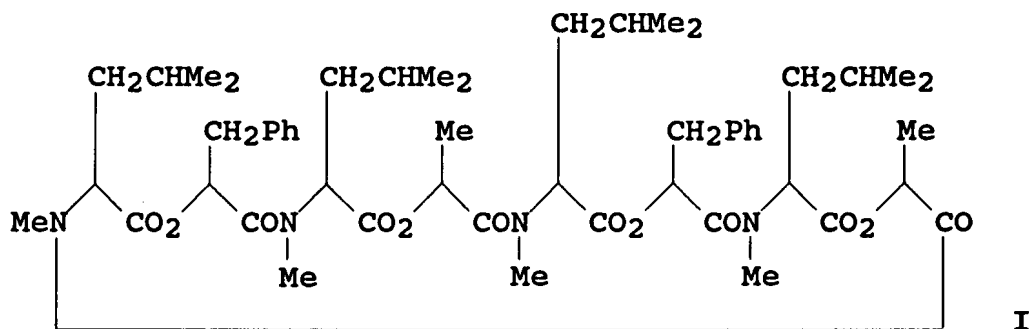
PRAI JP 91-295294 910823

DT Patent

LA Japanese

OS CASREACT 120:135149; MARPAT 120:135149

GI



AB The title peptide (I), useful as an anthelmintic (no data), is prepd. in high yield and low cost by cyclization of MeNHCH(iso-Bu)CO₂CH(CH₂Ph)CONMeCH(iso-Bu)CO₂CHMeCONMeCH(iso-Bu)CO₂CH(CH₂Ph)CONMeCH(iso-Bu)CO₂CHMeCO₂H or its reactive deriv. or salt in the presence of a base. Thus, Boc-MeLeu-D-PhLac-MeLeu-D-Lac-MeLeu-D-PhLac-MeLeu-D-Lac-OH (PhLac = OCH(CH₂Ph)CO, Lac = OCHMeCO) (prepn. given) was esterified with pentafluorophenol using 1-ethyl-2-(3-diethylaminopropyl)carbodiimide in CH₂Cl₂ to give, after N-deprotection with CF₃CO₂H in CH₂Cl₂ under ice-cooling, H-MeLeu-D-PhLac-MeLeu-D-Lac-MeLeu-D-PhLac-MeLeu-D-Lac-OC₆F₅.CF₃CO₂H

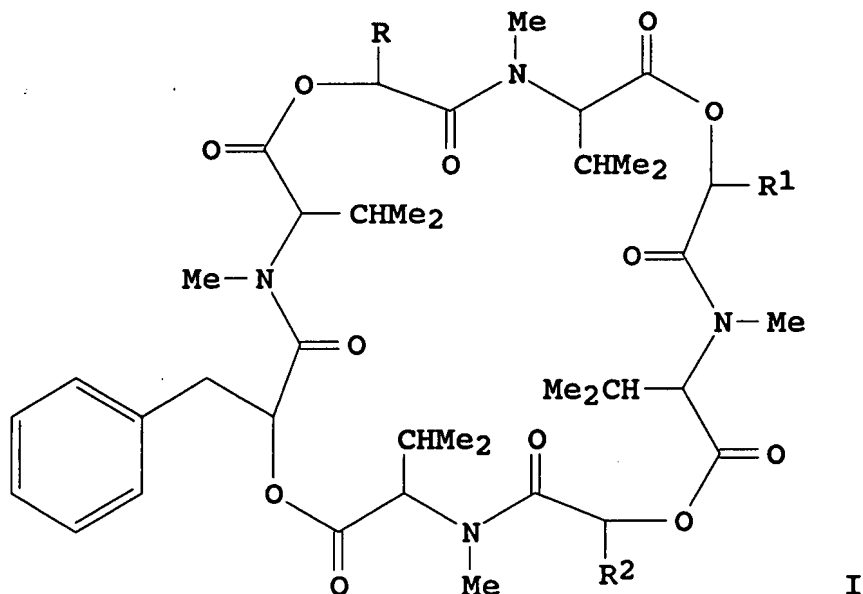
which was dissolved in DMF and added to pyridine over 2.5 h at 90.degree. to give, after stirring at 90.degree. for 15.5 h, cyclo(MeLeu-D-PhLac-MeLeu-D-Lac-MeLeu-D-PhLac-MeLeu-D-Lac).

IT 133413-70-4P

(prepn. of, as anthelmintic, intermediates and high-yield process for)

✓ L10 ANSWER 9 OF 33 CA COPYRIGHT 1995 ACS
 AN 120:71579 CA
 TI Insecticides containing cyclic depsipeptide as an active ingredient.
 IN Imamura, Keiichi; Takagi, Masayuki; Iwata, Michiaki; Okada, Tadaaki
 PA Meiji Seika Co, Japan
 SO Jpn. Kokai Tokkyo Koho, 3 pp.
 CODEN: JKXXAF
 PI JP 05271013 A2 931019 Heisei
 AI JP 92-71463 920327
 DT Patent
 LA Japanese
 AB Insecticides, useful in agriculture or environmental hygiene, contain the cyclic depsipeptide PF 1022 as an active ingredient. PF 1022 (at 500 ppm) showed 100% lethality to silkworm larvae within 6 days. An aq. liq. comprised PF 1022 1.25, N-methylpyrrolidone 50, polyoxyethylene alkyl ethers 12.5, propylene glycol fatty acid esters 12.5, and H2O 23.75 wt. parts.
 IT 133413-70-4, PF 1022
 (insecticide)

✓ L10 ANSWER 10 OF 33 CA COPYRIGHT 1995 ACS
 AN 119:224429 CA
 TI Isolation of anthelmintic cyclic depsipeptides from nonspore-forming microorganism
 IN Sasaki, Tooru; Kuwata, Maki; Shimizu, Akira; Takagi, Masayuki; Kubota, Hidetoshi; Okada, Tadaaki; Uotani, Kazumichi; Koyama, Masao
 PA Meiji Seika Co, Japan
 SO Jpn. Kokai Tokkyo Koho, 12 pp.
 CODEN: JKXXAF
 PI JP 05170749 A2 930709 Heisei
 AI JP 91-163085 910703
 PRAI JP 91-82631 910415
 DT Patent
 LA Japanese
 GI



AB Cyclic depsipeptide PF1022B (I; R1 = R2 = CH2Ph, R3 = Me), PF1022C I (R1 = R2 = R3 = CH2Ph), and PF1022D I (R1 = R2 = R3 = Me), useful as anthelmintics (no data), are manufd. by culture of nonspore-forming filamentous microorganism PF1022 strain, extn. of the microorganism with MeOH, EtOAc, and hexane-MeCN, and purifn. using silica gel and Sephadex LH-20 column chromatog. and HPLC. IR and ¹H-NMR (400 MHz) spectra of I are recorded.

IT 150749-51-2P, PF 1022B 150749-52-3P, PF 1022C
150749-53-4P, PF 1022D

(manuf. of, with nonspore-forming microorganism PF1022 strain, as anthelmintic)

L10 ANSWER 11 OF 33 CA COPYRIGHT 1995 ACS

AN 117:239845 CA

TI Pharmaceutical compositions containing an anthelmintic cyclic depsipeptide

IN Uomoto, Katsuhito; Shomura, Tomoko; Matsumoto, Mitsuyo; Takagi, Masayuki; Shimizu, Takao; Kiriya, Susumu

PA Meiji Seika Kaisha, Ltd., Japan

SO Eur. Pat. Appl., 10 pp.

CODEN: EPXXDW

PI EP 503538 A1 920916

DS R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE

AI EP 92-104005 920309

PRAI JP 91-43461 910308

DT Patent

LA English

AB The title compn. comprises a water-insol. anthelmintic compd. such as PF1022 and .gtoreq.1 compd. selected from nonionic surfactants, fats, oils, and optionally with .gtoreq.1 aq. solvent, wherein the total content of the additives is 5-50 parts. The compn. makes it possible to elevate water-soly. of the anthelmintic compd. and thus enhances its anthelmintic effects. Polyoxyethylene hydrogenated castor oil, polyethylene glycol, and soybean oil were heated to

60.degree., then PF1022 substance was slowly added thereto and was dissolved by stirring. The soln. thus obtained was slowly added to a exptl. diet for cattle.

IT 133413-70-4, PF 1022

(anthelmintic contg., sol., for cattle)

L10 ANSWER 12 OF 33 CA COPYRIGHT 1995 ACS

AN 117:62356 CA

TI A new anthelmintic cyclodepsipeptide, PF1022A

AU Sasaki, Toru; Takagi, Masayuki; Yaguchi, Takasi; Miyadoh, Shinji; Okada, Tadaaki; Koyama, Masao

CS Pharm. Res. Lab., Meiji Seika Kaisha Ltd., Yokohama, 222, Japan

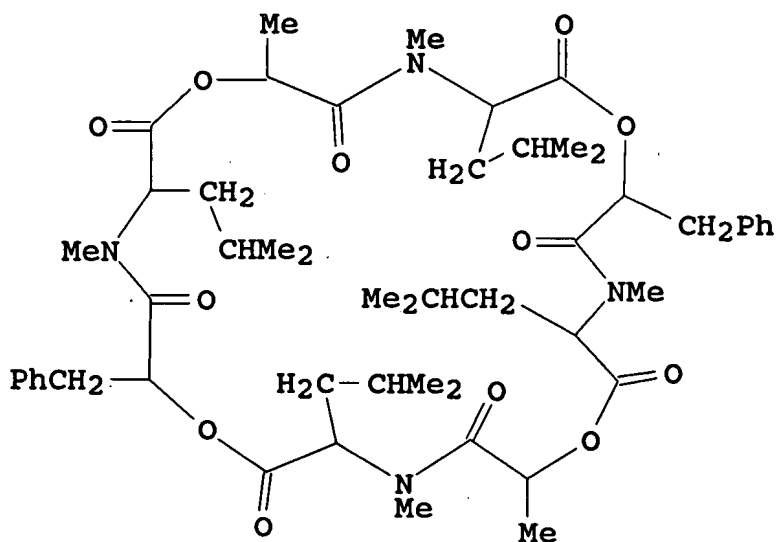
SO J. Antibiot. (1992), 45(5), 692-7

CODEN: JANTAJ; ISSN: 0021-8820

DT Journal

LA English

GI



AB The novel anthelmintic cyclodepsipeptide PF1022A was isolated from cultured mycelia of Mycelia Sterilia PF1022 (FERM BP-2671). It showed strong anthelmintic activities against Ascaridia galli in chickens. The structure of PF1022A was detd. to be cyclo(D-lactyl-L-N-methyllleucyl-D-3-phenyllactyl-L-N-methyllleucyl-D-lactyl-L-N-methyllleucyl-D-3-phenyllactyl-L-N-methyleucyl, I) by spectroscopic analyses and chem. studies.

IT 133413-70-4, PF 1022A

(anthelmintic activity and structure of)

L10 ANSWER 13 OF 33 CA COPYRIGHT 1995 ACS

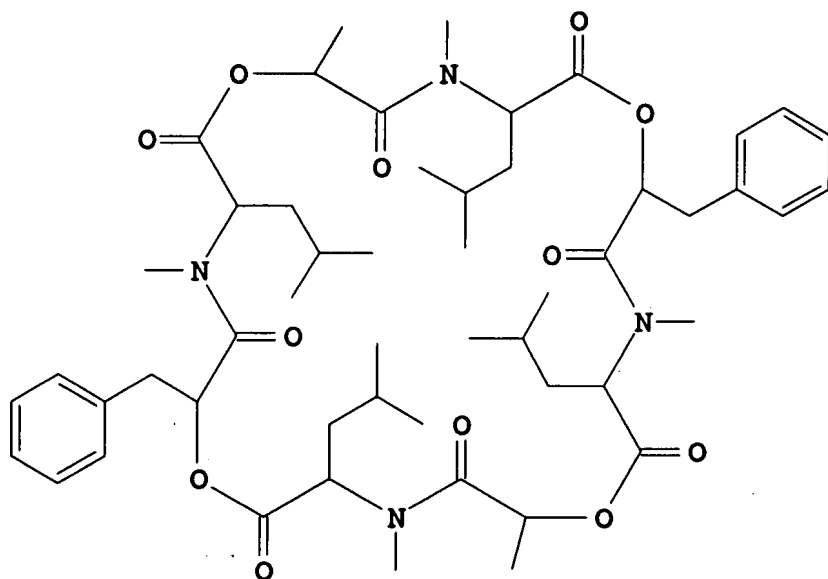
AN 114:183852 CA

TI Antihelminthic PF 1022 manufactured with an Agonomycete (mycelia sterilia)

IN Takagi, Masayuki; Okada, Tadaaki; Akai, Naotoshi; Yaguchi, Takashi; Miyadoh, Shinji; Shomura, Takashi; Sasaki, Toru; Sezaki, Masaji; Shimizu, Takao; Niida, Masashi

PA Meiji Seika Kaisha, Ltd., Japan

SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
PI EP 382173 A2 900816
DS R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE
AI EP 90-102328 900206
PRAI JP 89-26739 890207
DT Patent
LA English
GI



I

AB Anthelmintic PF 1022 (I) that is useful for treatment or prevention of parasitic infection of animals is manufd. with an Agonomycete (mycelia sterilia). Agonomycete PF 1022 was cultured in 35 L medium contg. starch syrup, soybean oil, wheat germ, soybean cake, yeast, and salts for 5 days at 26.degree. with aeration and stirring. I 24.9 mg was recovered from the culture filtrate after extn. with acetone and Et acetate and chromatog. Anthelmintic activity of I in a variety of animals, e.g., chickens artificially infected with roundworms (*Ascaridia galli*), was demonstrated.

IT 133413-70-4P, PF 1022

(manuf. of, with Agonomycete PF1022, as anthelmintic)

L10 ANSWER 14 OF 33 CA COPYRIGHT 1995 ACS

AN 103:116122 CA

TI Effects of bassianolide on the muscle contraction induced by electrical stimulation in the guinea-pig hypogastric nerve-vas deferens preparation

AU Nakajo, Shinjiro; Shimizu, Koji; Shimizu, Kazumasa; Urakawa, Norimoto

CS Dep. Vet. Pharmacol., Nippon Vet. Zotech. Coll., Japan

SO Nippon Jui Chikusan Daigaku Kenkyu Hokoku (1984), 33, 63-70

CODEN: NCDHDS

DT Journal

LA Japanese

AB Bassianolide [64763-82-2] (1 .times. 10-5M) applied to the nerve-vas deferens prepn. inhibited hypogastric nerve-induced contraction but did not inhibit the transmurally induced contraction. The potency of bassianolide was less than those of depolarizing ganglion blocking agents such as 1,1-dimethyl-4-phenylpiperazinium and nicotine.

IT 64763-82-2

(muscle contraction inhibition by)

L10 ANSWER 15 OF 33 CA COPYRIGHT 1995 ACS

AN 99:115905 CA

TI Effects of bassianolide on muscarinic and nicotinic responses to acetylcholine in various tissue preparations

AU Nakajyo, Shinjiro; Shimizu, Kazumasa; Kometani, Atsuko; Yuyama, Akira; Kobayashi, Haruo; Suzuki, Akinori; Urakawa, Norimoto

CS Dep. Vet. Pharmacol., Nippon Vet. Zotech. Coll., Tokyo, Japan

SO Nippon Jui Chikusan Daigaku Kenkyu Hokoku (1982), 31, 40-50

CODEN: NCDHDS

DT Journal

LA English

AB Bassianolide (I) [64763-82-2] inhibited acetylcholine [51-84-3]-induced contractions in the guinea pig ileal longitudinal muscle and vas deferens, but did not inhibit acetylcholine-induced contractions in the frog rectus abdominis muscle or twitches in the frog sciatic nerve-sartorius prepn. or mouse nerve-diaphragm prepn. I had no effect on quinuclidinyl and bungarotoxin binding to muscarinic and nicotinic receptors, resp.

IT 64763-82-2

(muscarinic and nicotinic action of acetylcholine response to)

L10 ANSWER 16 OF 33 CA COPYRIGHT 1995 ACS

AN 99:115904 CA

TI The effect of bassianolide on a nicotine-induced contraction in isolated smooth and skeletal muscle preparations

AU Nakajyo, Shinjiro; Shimizu, Kazumasa; Kometani, Atsuko; Isogai, Akira; Urakawa, Norimoto

CS Dep. Vet. Pharmacol., Nippon Vet. Zotech. Coll., Tokyo, Japan

SO Nippon Jui Chikusan Daigaku Kenkyu Hokoku (1982), 31, 33-9

CODEN: NCDHDS

DT Journal

LA English

AB Bassianolide (I) [64763-82-2] inhibited nicotine [54-11-5]-induced contractions in the guinea pig ileal longitudinal muscle and vas deferens, but not in the frog rectus muscle. I apparently interacts with effects of nicotine involving N1, but not those involving N2 receptors.

IT 64763-82-2

(muscle contraction response to nicotine and)

L10 ANSWER 17 OF 33 CA COPYRIGHT 1995 ACS

AN 99:48503 CA

TI Bassianolide, an insecticidal substance produced by an insect-pathogenic fungus

AU Isogai, Akira

CS Fac. Agric., Univ. Tokyo, Tokyo, 113, Japan

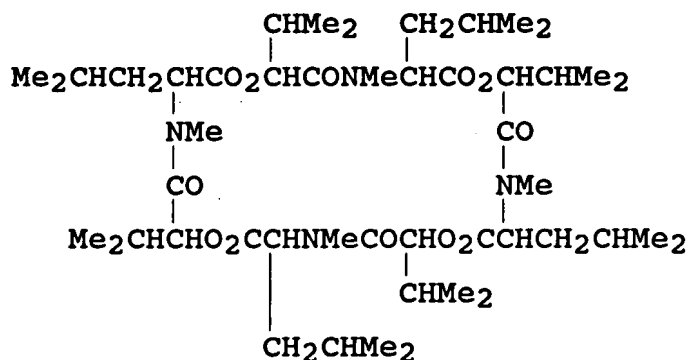
SO Kagaku to Seibutsu (1983), 21(3), 200-2

CODEN: KASEAA; ISSN: 0453-073X

DT Journal; General Review

LA Japanese

GI



I

AB A review with 11 refs. on the structure and activities toward silkworms and mammals of bassianolide (I) [64763-82-2] and the properties and biol. activities of its related compds.

IT 64763-82-2 64763-82-2D, derivs
(toxicity and properties of)

L10 ANSWER 18 OF 33 CA COPYRIGHT 1995 ACS

AN 99:33082 CA

TI On the inhibitory mechanism of bassianolide, a cyclodepsipeptide, in acetylcholine-induced contraction in guinea pig taenia coli

AU Nakajyo, Shinjiro; Shimizu, Kazumasa; Kometani, Atsuko; Suzuki, Akinori; Ozaki, Hiroshi; Urakawa, Norimoto

CS Dep. Veterinary Pharmacol., Nippon Vet. Zootech. Coll., Tokyo, 180, Japan

SO Jpn. J. Pharmacol. (1983), 33(3), 573-82

CODEN: JJPAAZ; ISSN: 0021-5198

DT Journal

LA English

AB The effect of bassianolide (BASS) [64763-82-2] was investigated on mech. response, membrane potential, intracellular Na and K contents, and ^{45}Ca uptake in response to acetylcholine (ACh) [51-84-3] in guinea pig tenia coli. BASS (10-5M) as well as verapamil (5 .times. 10-7M) and papaverine (3 .times. 10-5M) selectively inhibited the tonic component of the contraction induced by ACh (10-5M), but scarcely affected the phasic one. In contrast, atropine (3 .times. 10-8M) inhibited both components of contraction BASS did not modify the change in membrane potential by ACh. BASS, ACh, and the combination of both did not influence the intracellular Na and K contents and the ^{45}Ca uptake. Thus, BASS seems unlikely to have the property of an ionophore. BASS slightly inhibited both the tonic and phasic components of contraction induced by 60 mM K in a nonselective manner, though verapamil and papaverine inhibited the tonic component more potently than the phasic one. Verapamil decreased the increased ^{45}Ca uptake in the muscle soaked in 60 mM K medium, but BASS did not. Since BASS selectively inhibits the tonic component of the ACh-induced contraction, the inhibitory mechanism of BASS seems to be different from that of verapamil, papaverine, or

atropine; and the mechanism may be beyond the interactions with a binding activity of ACh to the muscarinic receptor, membrane potential and the contractile machinery of the intestinal smooth muscle.

IT 64763-82-2

(acetylcholine-induced intestine contraction inhibition by)

L10 ANSWER 19 OF 33 CA COPYRIGHT 1995 ACS

AN 97:518 CA

TI Effects of bassianolide on drug-induced contractions of isolated guinea pig aorta

AU Nakajyo, Shinjiro; Kometani, Atsuko; Shimizu, Kazumasa; Urakawa, Norimoto

CS Dep. Vet. Pharmacol., Nippon Vet. Zotech. Coll., Musashino, Japan

SO Nippon Jui Chikusan Daigaku Kenkyu Hokoku (1981), 30, 71-6

CODEN: NCDHDS

DT Journal

LA Japanese

AB bassianolide [64763-82-2] Inhibited norepinephrine- and phenylephrine-induced guinea pig aorta contractions and shifted the concn.-response curve to the right. The drug also inhibited contractions induced by membrane depolarization with K⁺, Ba²⁺ or Et₄N⁺.

IT 64763-82-2

(aorta contraction inhibition by)

L10 ANSWER 20 OF 33 CA COPYRIGHT 1995 ACS

AN 96:157316 CA

TI Biochemical and pharmacological studies of the insecticidal cyclodepsipeptides destruxins and bassianolide produced by entomopathogenic fungi

AU Abalis, Ibrahim Mohammed

CS Cornell Univ., Ithaca, NY, USA

SO (1981) 198 pp. Avail.: Univ. Microfilms Int., Order No. 8129664
From: Diss. Abstr. Int. B 1982, 42(8), 3108-9

DT Dissertation

LA English

AB Unavailable

IT 64763-82-2

(biochem. and pharmacol. of, in insect control)

L10 ANSWER 21 OF 33 CA COPYRIGHT 1995 ACS

AN 96:155383 CA

TI Inhibitory effect of bassianolide, a cyclodepsipeptide, on drug-induced contractions of isolated smooth muscle preparations

AU Nakajyo, Shinjiro; Shimizu, Kazumasa; Kometani, Atsuko; Kato, Kohji; Kamizaki, Junji; Isogai, Akira; Urakawa, Norimoto

CS Dep. Vet. Pharmacol., Nippon Vet. Zotech. Coll., Tokyo, 180, Japan

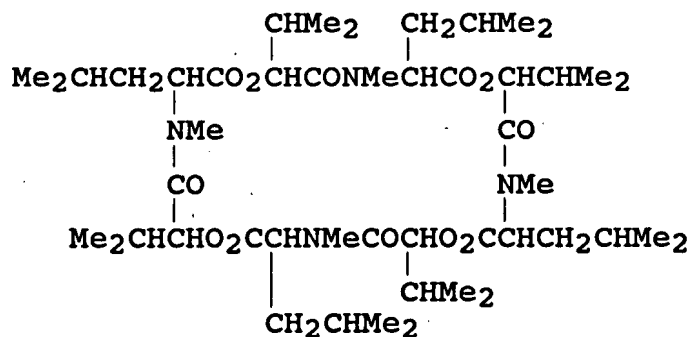
SO Jpn. J. Pharmacol. (1982), 32(1), 55-64

CODEN: JJPAAZ; ISSN: 0021-5198

DT Journal

LA English

GI



I

AB In a longitudinal muscle prepn. from guinea pig ileum, 10^{-6} M bassianolide (I) (BASS) [64763-82-2] almost irreversibly inhibited an isotonic contraction induced by acetylcholine (ACH) [51-84-3] and made the dose-response curve shift in parallel to the right (pA₂: 7.6). It also inhibited the contractions induced by carbachol [51-83-2], pilocarpine [92-13-7], histamine [51-45-6], 5-HT [50-67-9] and prostaglandin E₂ [363-24-6] but did not inhibit the contraction induced by Ba or a high concn. (40-60 mM) of K. When applied to the guinea pig vas deferens, 10^{-8} - 10^{-7} M BASS inhibited an isometric contraction induced by norepinephrine bitartrate (NE) [51-40-1] (3 .times. 10^{-6} - 10^{-5} M), phenylephrine [59-42-7] (3 .times. 10^{-6} - 10^{-5} M) or ACH (10^{-6} - 10^{-5} M). When the contraction of the 3 agonists exceeded the concns. mentioned above, BASS failed to exert an inhibitory effect upon any of these agonists. It also inhibited the contraction caused by carbachol and histamine, but did not inhibit that induced by Ba or high K. BASS itself failed to cause the contraction or relaxation of both muscle preps. Apparently, BASS inhibits the contraction induced by an agonist which acts upon selective sites of smooth muscle cells, but which does not inhibit a contraction induced by an agonist that has an effect on non-selective sites of cells.

IT 64763-82-2

(drug-induced smooth muscle contraction response to)

L10 ANSWER 22 OF 33 CA COPYRIGHT 1995 ACS

AN 94:103802 CA

TI Bassianolide: syntheses of its analogs and NMR studies

AU Isogai, Akira; Kanaoka, Masaharu; Suzuki, Akinori

CS Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan

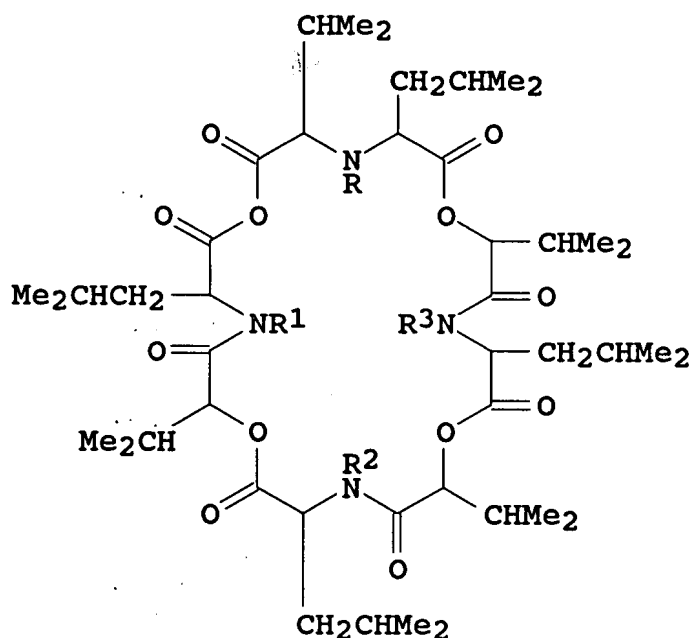
SO Pept. Chem. (1979), Volume Date 1978, 16th, 165-70

CODEN: PECHDP

DT Journal

LA English

GI



I

AB Bassianolide analogs I ($R-R_2 = \text{Me}$, $R_3 = \text{H}$; $R = R_1 = \text{Me}$, $R_2 = R_3 = \text{H}$; $R = R_2 = \text{Me}$, $R_1 = R_3 = \text{H}$; $R = \text{Me}$, $R-R_3 = \text{H}$) were prepd. by cyclizing the corresponding linear peptides by the acid chloride method in benzene under high diln. Conformations of bassianolide (I, $R-R_3 = \text{Me}$) (II) and the above analogs were detd. by NMR data. In soln. II exists as 2 conformations which undergo interconversion by cis-trans isomerization of amide bonds. Enniatin C and decarbassinolide were also prepd. None of the synthetic analogs exhibited insecticidal activity; therefore, all 4 N-Me groups and the ring size are essential for the biol. activity of II.

IT 64763-82-2P

(prepn. and conformation of)

L10 ANSWER 23 OF 33 CA COPYRIGHT 1995 ACS

AN 93:186770 CA

TI Field desorption mass spectrometry of antibiotics. II. Peptide antibiotics

AU Fukushima, Kazutaka; Arai, Tadashi

CS Res. Inst. Chemobio-dyn., Chiba Univ., Chiba, Japan

SO Shitsuryo Bunseki (1979), 27(2), 107-16

CODEN: SHIBAK; ISSN: 0542-8645

DT Journal

LA English

AB The field desorption mass spectra were measured for amino acid-related antibiotics acidomycin, actinoboline, azaserine, cycloserine, 6-diaza-5-oxo-L-norleucine, enteromycin, and primocarin and for peptide antibiotics leupeptin Ac, althiomycin, pepstatin A, edeine B1, mikamycin B, etamycin, valinomycin, bassianolide, and actinomycin D.

IT 64763-82-2

(field desorption mass spectrum of)

L10 ANSWER 24 OF 33 CA COPYRIGHT 1995 ACS

- AN 93:110225 CA
TI Bassianolide, an insecticidal cyclodepsipeptide produced by entomopathogenic fungi
AU Kanaoka, Masaharu; Isogai, Akira; Suzuki, Akinori; Tamura, Saburo
CS Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SO Pept. Chem. (1978), Volume Date 1977, 15th, 109-14
CODEN: PECHDP
DT Journal; General Review
LA English
AB A review with 5 refs. of the isolation, structure, and chem. synthesis of bassianolide, an insecticidal cyclodepsipeptide produced by *Beauveria bassiana* and *Verticillium lecanii*, 2 entomopathogenic fungi.
IT 64763-82-2
(isolation and structure of)
- L10 ANSWER 25 OF 33 CA COPYRIGHT 1995 ACS
AN 93:6071 CA
TI Gushing-inducing peptides in beer produced by *Penicillium chrysogenum*
AU Kitabatake, Katsuaki; Fukushima, Shuji; Kawasaki, Ichiro; Amaha, Mikio
CS Cent. Res. Lab., Asahi Brew. Ltd., Tokyo, 143, Japan
SO Pept. Chem. (1980), Volume Date 1979, 17th, 7-12
CODEN: PECHDP
DT Journal
LA English
AB A cyclic peptide that induced gushing in bottled beer was isolated from culture filtrates of *P. chrysogenum*. It was identified as cyclo-D-Val-L-Val-D-Phe-L-Phe (I) [24181-12-2]. Another factor inducing beer gushing was isolated that was a mixt. of I and other tetrapeptides contg. valine, phenylalanine, and tyrosine. The gushing caused by several natural and synthetic peptides was examd. and the results are tabulated. Cyclic structure was important; little or no gushing was induced by linear peptides.
IT 64763-82-2
(beer gushing induction by)
- L10 ANSWER 26 OF 33 CA COPYRIGHT 1995 ACS
AN 92:6904 CA
TI Syntheses of bassianolide and its two homologs, enniatin C and decabassianolide
AU Kanaoka, Masaharu; Isogai, Akira; Suzuki, Akinori
CS Dep. Agric. Chem., Univ. Tokyo, Tokyo, 113, Japan
SO Agric. Biol. Chem. (1979), 43(5), 1079-83
CODEN: ABCHA6; ISSN: 0002-1369
DT Journal
LA English
GI

(L-MeLeu-D-HyIv)_n

I

AB Bassianolide [I; HyIv = OCH(CHMe₂)CO, MeLeu = N-methyllleucyl, n = 4] (II), an insecticidal cyclodepsipeptide from entomopathogenic fungi, was prepd. by coupling Z-MeLeu-D-HyIv-MeLeu-D-HyIv-OH (Z = PhCH₂O₂C) to H-MeLeu-D-HyIv-MeLeu-D-HyIv-OCMe₃ by PC15, deblocking the resulting Z-(MeLeu-D-HyIv)₄-OCMe₃ by HBr/AcOH, and cyclizing the resulting H-(MeLeu-D-HyIv)₄-OH.HBr by PC15 in benzene contg. Et₃N under high diln. Enniatin C (I, n = 3) and decabassianolide (I, n = 5) were prepd. similarly. The physiochem. properties and biol. activities of these synthetic compds. unambiguously established II as the structure for bassianolide.

IT 64763-82-2P

(total synthesis of)

L10 ANSWER 27 OF 33 CA COPYRIGHT 1995 ACS

AN 89:6532 CA

TI Synthesis of bassianolide

AU Kanaoka, Masaharu; Isogai, Akira; Suzuki, Akinori

CS Dep. Agric. Chem., Univ. Tokyo, Tokyo, Japan

SO Tetrahedron Lett. (1977), (46), 4049-50

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

AB Bassianolide, cyclo[D-Hyiv-MeLeu]₄ [Hyiv = OCH(CHMe₂)CO], was prepd by coupling PhCH₂O₂C-MeLeu-D-Hyiv-MeLeu-D-Hyiv-OH to H-MeLeu-D-Hyiv-MeLeu-D-Hyiv-OCMe₃, deblocking the resulting protected octapeptide with HBr/HOAc, and cyclizing the resulting H-[MeLeu-D-Hyiv]₄-OH.HBr by the acid chloride method in benzene under highly diluted conditions.

IT 64763-82-2P

(total synthesis of)

L10 ANSWER 28 OF 33 CA COPYRIGHT 1995 ACS

AN 88:184593 CA

TI Bassianolide, a new insecticidal cyclodepsipeptide from Beauveria bassiana and Verticillium lecanii

AU Kanaoka, Masaharu; Isogai, Akira; Murakoshi, Shigeo; Ichinoe, Masakatsu; Suzuki, Akinori; Tamura, Saburo

CS Dep. Agric. Chem., Univ. Tokyo, Tokyo, Japan

SO Agric. Biol. Chem. (1978), 42(3), 629-35

CODEN: ABCHA6; ISSN: 0002-1369

DT Journal

LA English

AB A new insecticidal cyclodepsipeptide, bassianolide [64763-82-2] was isolated from the mycelia of 2 entomophagous fungi and its structure was elucidated. Silkworm larvae exhibited an atonic symptom and were killed by the administration of >8 ppm bassianolide.

IT 64763-82-2

(structure and insecticidal activity of, against silkworm)

L10 ANSWER 29 OF 33 CA COPYRIGHT 1995 ACS

AN 87:184951 CA

TI Bassianolide, a new insecticidal cyclodepsipeptide from Beauveria bassiana and Verticillium lecanii

AU Suzuki, Akinori; Kanaoka, Masaharu; Isogai, Akira; Murakoshi, Shigeo; Ichinoe, Masakatsu; Tamura, Saburo

CS Dep. Agric. Chem., Univ. Tokyo, Tokyo, Japan

SO Tetrahedron Lett. (1977), (25), 2167-70

CODEN: TELEAY

DT Journal

LA English

AB The structure of the title compd. (I) was elucidated by phys. and chem. methods as a cyclodepsipeptide composed of four D-.alpha.-hydroxyisovaleryl-L-N-methylleucyl units. NMR studies suggested the presence of five such units; the discrepancy may be due to the presence of conformers. Pure I was sepd. by chromatog. from a neutral fraction of a *B. bassiana* culture ext. Treatment of I with LiBH₄ gave D-.alpha.-hydroxyisovaleryl-L-N-methylleucinol whose structure was confirmed by synthesis. Fifth instar larvae of *Bombyx mori* were killed when fed with an artificial diet contg. 13 ppm I.

IT 64763-82-2P

(from *Beauveria bassiana* and *Verticillium lecanii*, structure of)

L10 ANSWER 30 OF 33 CA COPYRIGHT 1995 ACS

AN 83:159393 CA

TI Conformational factors in the complexation of enniatin ionophors with alkaline cations

AU Mikhaleva, I. I.; Evstratov, A. V.; Ivanov, V. T.; Ovchinnikov, Yu. A.

CS M. M. Shemyakin Inst. Chem. Nat. Prod., Moscow, USSR

SO Pept., Proc. Eur. Pept. Symp., 12th (1973), Meeting Date 1972, 346-52. Editor(s): Hanson, Horst; Jakubke, Hans-Dieter. Publisher: North-Holland, Amsterdam, Neth.

CODEN: 31FTAU

DT Conference

LA English

AB The stability consts. were detd. for complexes of enniatins A, B, and C, beauvericin, and 16 other cyclodepsipeptides related to these antibiotics with Li⁺, Na⁺, K⁺, Rb⁺, and Cs⁺. Modification of the 4 parent antibiotics by substitution of the iso-Pr side chains by other alkyl radicals did not cause drastic changes in the stability of the complexes; the most stable complexes were with K⁺ and Rb⁺ and the least stable with Li⁺ and Na⁺. Six diastereomers of enniatin B had lower complexing capacities than the parental antibiotics. Enniatin B analogs, formed by substitution of all of the polar N-methylamide groups by amide or ester groups, had complexing abilities similar to that of enniatin B. A tetradepsipeptide analog of enniatin B did not complex alk. metal cations, apparently because it possesses a rigid structure with the cis-N-methylamide and trans-ester carbonyls oriented toward the periphery of the mol. Increasing the ring size of the N-desmethyl analog of enniatin B by 1 didepsipeptide unit shifted the max. complexing to Cs⁺ and lengthening by 2 didepsipeptide units caused a sharp decrease in complexing ability. The latter was apparently caused by the ring being too large for efficient ion interaction in the optimal conformation. The analog with the enniatin B cyclic chain lengthened by 1 depsipeptide unit had increased Na⁺ and K⁺ and reduced Rb⁺ and Cs⁺ complex stability. Further increase in enniatin ring size still resulted in max. stability of the K⁺ complexes. The above results are discussed in relation to the conformation of the antibiotic analogs. Overall, the enniatin series has a comparatively low structural and cation specificity which are probably related to their conformational flexibility.

IT 4530-22-7

(complexation by, of alk. metal cations, conformational factors in)

L10 ANSWER 31 OF 33 CA COPYRIGHT 1995 ACS

AN 79:19074 CA

TI Theoretical conformational analysis of cyclic octadepsipeptides

AU Pletnev, V. Z.; Popov, E. P.

CS Inst. Khim. Prir. Soedin. im. Shemyakina, Moscow, USSR

SO Khim. Prir. Soedin. (1973), (2), 220-4

CODEN: KPSUAR

DT Journal

LA Russian

AB Equations were developed for the conformational anal. of cyclic octadepsipeptide (L-AlaMe-D-Lac)₄ (AlaMe = MeNCHMeCO, Lac = OCHMeCO), based on non-bonded at. interactions, valence deformation of C, electrostatic interactions, rotational energy, and dipole moments.

IT 42037-15-0

(conformation of, calcn. of)

L10 ANSWER 32 OF 33 CA COPYRIGHT 1995 ACS

AN 78:58777 CA

TI Synthesis and antimicrobial activity of analogs of enniatin antibiotics

AU Shemyakin, M. M.; Ovchinnikov, Yu. A.; Ivanov, V. T.; Evstratov, A. V.; Mikhaleva, I. I.; Ryabova, I. D.

CS USSR

SO Zh. Obshch. Khim. (1972), 42(10), 2320-34

CODEN: ZOKHA4

DT Journal

LA Russian

AB 35 cyclic depsipeptides were prepd. by cyclization of the linear analogs under infinite diln. conditions. The analogs of enniatins A, B, and C and beauvericin differ from the natural antibiotics in ring size, configuration of acid residues, and N-methyl groups. Characterizations of intermediates is presented in tabular form. The Me₃CO₂C, PhCH₂O₂C, and p-O₂NC₆H₄CH₂O₂C protective groups were used. The antimicrobial activity of the products against a range of Gram-pos. and Gram-neg. and acid-resistant bacteria, as well as some fungi is tabulated. The relation of mol. structure to activity is discussed.

IT 5686-56-6P

(prepn. of)

L10 ANSWER 33 OF 33 CA COPYRIGHT 1995 ACS

AN 66:29078 CA

TI Synthetic and natural cyclodepsipeptides

AU Ivanov, V. T.; Ovchinnikov, Yu. A.; Kiryushkin, A. A.; Shemyakin, M. M.

CS Acad. Sci. U.S.S.R., Moscow, USSR

SO Pept., Proc. Eur. Symp., 6th (1966), Meeting Date 1963, 337-50

CODEN: 18IIA5

DT Conference

LA English

AB Unavailable

IT 5686-56-6P

(prepn. of)

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L13 ANSWER 2 OF 4 COPYRIGHT 1995 ACS
AN CA64:2157g

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L13 ANSWER 3 OF 4 COPYRIGHT 1995 ACS
AN CA62:14817h

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AN CA60:2075e

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